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L10 ANSWER 1 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:222780 CAPLUS

DOCUMENT NUMBER: 137:226042

TITLE: Pitavastatin: Itavastatin, nivastatin,

NK 104, NKs 104,

P 872441 Anon.

AUTHOR(S): Anon. CORPORATE SOURCE: N. Z.

SOURCE: Drugs in R&D (2002), 3(1), 58-60 CODEN: DRDDFD; ISSN: 1174-5886

PUBLISHER: Adis International Ltd.
DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review discusses the pharmacokinetics, adverse events, pharmacodynamics, and therapeutic trials of pitavastatin. Pitavastatin inhibits HMG-CoA reductase, a rate-limiting key enzyme of cholesterol synthesis pathway.

IT 147511-69-1, Pitavastatin

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacokinetics, adverse effects, pharmacodynamics, and therapeutic trials of pitavastatin)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:903370 CAPLUS

DOCUMENT NUMBER: 138:378498

TITLE: Metabolic fate of pitavastatin (NK

-104), a new inhibitor of

3-hydroxy-3-methylglutaryl coenzyme A reductase: effects on drug-metabolizing systems in rats and

Fujino, Hideki; Yamada, Iwao; Shimada, Syunsuke; Nagao, Takeshi; Yoneda, Michiaki AUTHOR(S):

CORPORATE SOURCE: Tokyo Research Laboratories, Kowa Company Ltd., Tokyo,

Japan

SOURCE: Arzneimittel-Forschung (2002), 52(10),

745-753

CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal English LANGUAGE:

Pitavastatin (CAS 147526-32-7, NK-

104) is a new and very potent competitive inhibitor of

3-hydroxy-3-methylglutaryl CoA (HMG-CoA) reductase and was approved for treatment of hyperlipoproteinemia. Pitavastatin was studied for

its effects on hepatic microsomal drug metabolism in rats, and the activities

of several drug-metabolizing enzymes were measured. No induction of the drug metabolizing enzymes (aniline hydroxylase, aminopyrine N-demethylase, 7-ethoxycoumarin O-deethylase, and UDP-glucuronic acid transferase) was found in the pitavastatin group compared to the control after the multiple administrations of pitavastatin at the dosage of 1-10 mg/kg per day for 7 days. Based on several different in vitro approaches, it is concluded that CYP2C9 is the enzyme responsible for the metabolism of pitavastatin and no metabolite is present in renal and intestinal microsomes. The CYP2C9 polymorphism was not involved in the pitavastatin metabolism No inhibitory effect in CYP-mediated metabolism was detected on the tolbutamide 4-hydroxylation (CYP2C9) and testosterone 6β -hydroxylation (CYP3A4) in the presence of pitavastatin. The results suggested that pitavastatin did not affect the

drug-metabolizing systems.

ΙT 147511-69-1, Pitavastatin 147526-32-7,

NK-104

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pitavastatin (NK-104) on

drug-metabolizing systems in rats and humans)

RN 147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

RN 147526-32-7 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN

dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:306319 CAPLUS

DOCUMENT NUMBER: 137:288438

TITLE: Effect of biliary excretion on the pharmacokinetics of

pitavastatin (NK-104) in

dogs

AUTHOR(S): Kojima, Junji; Ohshima, Takeshi; Yoneda, Michiaki;

Sawada, Hironobu

CORPORATE SOURCE: Tokyo Research Laboratories, Pharmaceutical Division,

Kowa Co., Ltd., Tokyo, 189-0022, Japan Yakubutsu Dotai (2001), 16(6), 497-502

CODEN: YADOEL; ISSN: 0916-1139
PUBLISHER: Nippon Yakubutsu Dotai Gakkai

DOCUMENT TYPE: Journal

SOURCE:

LANGUAGE: English
AB The disposition of pitavastatin and pitavastatin

lactone, which are mutually converted in the circulatory system, was investigated after i.v. administration of pitavastatin in dogs equipped with chronic bile-duct catheters. The plasma concentration of

pitavastatin declined three-exponentially after dosing in the dogs

with both diverted and non-diverted bile-flow. The terminal elimination

half-life (T1/2) of pitavastatin in the diverted and

non-diverted conditions was 3.12 and 5.01 h, and that of

pitavastatin lactone 4.50 and 7.23 h, resp. The

diverted bile-flow decreased the AUCO-24hr for pitavastatin and

its lactone to 66 and 64%, resp. In the dogs with the diverted bile-flow,

56.1% and 4.2% of the dose was recovered in the bile as

pitavastatin and its lactone, resp. The biliary clearance (CLb)

of pitavastatin and its lactone was 32.5 and 6.8 mL/min, resp.,

and the CLb of pitavastatin was about 4.8-fold that of its

lactone. In the dogs whose bile-flow was not diverted, the cumulative biliary excretion of pitavastatin and its lactone was estimated from

the AUC0-24hr and CLb of both forms of pitavastatin. The estimated

amount was increased by 46% compared with that in the dogs with the diverted bile-flow. This indicates that the increase reflects the actual

contribution of the enterohepatic circulation.

IT 141750-63-2 147511-69-1, Pitavastatin

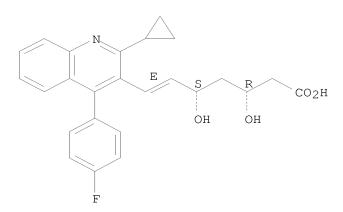
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological

study); USES (Uses) (effect of biliary excretion on the pharmacokinetics of pitavastatin (NK-104) in dogs) RN 141750-63-2 CAPLUS CN2H-Pyran-2-one, 6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3quinolinyl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 147511-69-1 CAPLUS 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:417415 CAPLUS

DOCUMENT NUMBER: 138:83169

TITLE: Long-term treatment with pitavastatin (

NK-104), a new HMG-CoA reductase

inhibitor, of patients with heterozygous familial

hypercholesterolemia

Noji, Yoshihiro; Higashikata, Toshinori; Inazu, AUTHOR(S):

Akihiro; Nohara, Atsushi; Ueda, Kosei; Miyamoto, Susumu; Kajinami, Kouji; Takegoshi, Tadayoshi; Koizumi, Junji; Mabuchi, Hiroshi

CORPORATE SOURCE: Graduate School of Medical Science, Division of

Cardiovascular Medicine, Vascular Medicine, Molecular

Genetics of Cardiovascular Disorders (The Second

Department of Internal Medicine), Kanazawa University,

Kanazawa, 920-8641, Japan

SOURCE: Atherosclerosis (Shannon, Ireland) (2002),

163(1), 157-164

CODEN: ATHSBL; ISSN: 0021-9150 Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

The clin. efficacy and safety of pitavastatin (NK-104), a novel HMG-CoA reductase inhibitor, during long-term treatment, were examined in 25 patients (male/female=11/14, mean age=53±13 (mean±SD) years) with heterozygous familial hypercholesterolemia (FH). After a period on placebo of >4 wk, 2 mg/day of pitavastatin was administered for 8 wk, and the dose was increased to 4 mg/day for up to $104~\mathrm{wk}$. Total cholesterol (TC) decreased by 31% from the initial value of 340 ± 57 to 237 ± 40 mg/dL (P<0.0001) at week 8. During treatment with the higher dose, TC decreased even further to 212±35 mg/dL at week 12; it decreased by 37% from the initial value (P<0.0001). Similarly, the baseline low-d. lipoprotein (LDL)-cholesterol (LDL-C) decreased by 41% at week 8, and by 49% at week 12, from 267±61 mg/dL at baseline. These findings indicate a dose-dependent effect of the drug on TC and LDL-C concns. To examine whether the levels of circulating matrix metalloproteinases (MMPs) and their endogenous inhibitors (tissue inhibitors of metalloproteinases: TIMPs) are altered during lipid-lowering therapy, we also measured their plasma levels. The mean levels of MMP-2 and MMP-3 were significantly increased. No significant alteration was found in MMP-9, TIMP-1 and ${\tt TIMP-2}$ levels. As for the safety of pitavastatin, adverse reactions were observed in one case $(\bar{4}\%)$ of subjective and objective symptoms. The effects of pitavastatin on TC and LDL-C were stable during long treatment of patients with heterozygous FH.

IT 147511-69-1, Pitavastatin

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (treatment with pitavastatin of patients with heterozygous familial hypercholesterolemia)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:393050 CAPLUS

DOCUMENT NUMBER: 137:163683

TITLE: Clinical efficacy of pitavastatin, a new

3-hydroxy-3-methylglutaryl coenzyme A reductase inhibitor, in patients with hyperlipidemia:

dose-finding study using the double-blind, three-group

parallel comparison

AUTHOR(S): Saito, Yasushi; Yamada, Nobuhiro; Teramoto, Tamio;

Itakura, Hiroshige; Hata, Yoshiya; Nakaya, Noriaki; Mabuchi, Hiroshi; Tushima, Motoo; Sasaki, Jun; Goto,

Yuichiro; Ogawa, Nobuya

CORPORATE SOURCE: The Second Department of Internal Medicine, School of

Medicine, Chiba University, Chiba, Japan

SOURCE: Arzneimittel-Forschung (2002), 52(4),

251-255

CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

AB Pitavastatin (CAS 147526-32-7, NK-

104), the first totally synthetic 3-hydroxy-3-methylglutaryl CoA (HMG-CoA) reductase inhibitor discovered in Japan, was examined Pitavastatin significantly decreased the serum levels of total cholesterol (TC) and low-d. lipoprotein cholesterol (LDL-C) at doses of 1 mg/day or more, and significant dose-dependence of the effect of this drug was observed within the dose range from 1 mg/day to 4 mg/day. It also significantly decreased the serum levels of triglycerides (TG) within this dose range. There was no dose-dependence of the incidence of adverse reactions to pitavastatin.

IT 147511-69-1, Pitavastatin

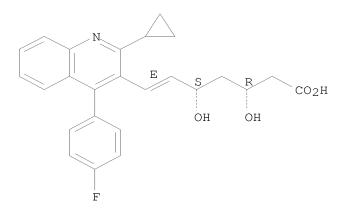
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pitavastatin (3-hydroxy-3-methylglutaryl CoA reductase inhibitor) effect in hyperlipidemia patients)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:22445 CAPLUS

DOCUMENT NUMBER: 139:159390

TITLE: Metabolic fate of pitavastatin, a new inhibitor of HMG-CoA reductase: human

UDP-glucuronosyltransferase enzymes involved in

lactonization

AUTHOR(S): Fujino, H.; Yamada, I.; Shimada, S.; Yoneda, M.;

Kojima, J.

CORPORATE SOURCE: Tokyo New Drug Research Laboratories I, Kowa Company

Ltd, 2-17-43 Noguchicho, Higashimurayama, Tokyo,

189-0022, Japan

SOURCE: Xenobiotica (2002), Volume Date 2003, 33(1),

27-41

CODEN: XENOBH; ISSN: 0049-8254

PUBLISHER: Taylor & Francis Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

Pitavastatin is a potent competitive inhibitor of HMG-CoA reductase little metabolized in hepatic microsomes. Pitavastatin lactone, which can be converted back to the unchanged form, is the major metabolite of pitavastatin in humans. To clarify the mechanism of the lactonization of pitavastatin and the metabolic properties of the lactone, we performed expts. in vitro. On addition of UDP-glucuronic acid, human hepatic microsomes produced pitavastatin lactone and an unknown metabolite (UM-2). UM-2 was converted to its unchanged form by enzymic hydrolysis and to a lactone form non-enzymically. Using several human UGT-expressing microsomes, UGT1A3 and UGT2B7 were principally responsible for glucuronidation of pitavastatin leading to lactonization. No marked difference in intrinsic clearance between pitavastatin and its lactone form was detected in human hepatic microsomes. Pitavastatin lactone showed no inhibitory effects on CYP2C9- and CYP3A4-mediated metabolism of model substrates in contrast to other ${\tt HMG-CoA}$ reductase inhibitors. The mechanism of pitavastatin lactone formation has been clarified, in that glucuronidation by UGT occurs first followed by lactonization via an elimination reaction. It was also found that pitavastatin lactone

IT 141750-63-2, Pitavastatin lactone

demonstrates no drug-drug interactions.

RL: BSU (Biological study, unclassified); BIOL (Biological study) (metabolism of pitavastatin, an inhibitor of HMG-CoA reductase and role of human UDP-glucuronosyltransferase enzymes involved in lactonization)

RN 141750-63-2 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

IT 147511-69-1, Pitavastatin

RL: PKT (Pharmacokinetics); BIOL (Biological study)
(metabolism of pitavastatin, an inhibitor of HMG-CoA reductase
and role of human UDP-glucuronosyltransferase enzymes involved in
lactonization)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:790222 CAPLUS

DOCUMENT NUMBER: 137:299919

TITLE: Stable pharmaceutical composition containing

NK-104

INVENTOR(S): Muramatsu, Toyojiro; Mashita, Katsumi; Shinoda, Yasuo;

Sassa, Hironori; Kawashima, Hiroyuki; Tanizawa,

Yoshio; Takeuchi, Hideatsu

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,

SOURCE: U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 894,279,

> abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6465477	В1	20021015	US 1999-436789	19991108 <
PRIORITY APPLN. INFO.:			JP 1995-354654	A 19951222
			US 1997-894279	B2 19970818

A pharmaceutical composition comprises (E)-3,5-dihydroxy-7-[4'-4"-fluorophenyl-

2'-cyclopropylquinolin-3'-yl]-6-heptenoic acid (NK-104

) or its salt or ester, of which the aqueous solution or dispersion has a pH of

6.8 to 8. The composition has good time-dependent stability and has no change

in its outward appearance even after having been stored long. Tablets contained calcium salt of NK-104 1.0, lactose 101.4,

low substituted hydroxypropyl cellulose 12.0, hydroxypropyl Me cellulose-2910 2.0, Mg aluminometasilicate 2.4, and Mg stearate 1.2 mq/tablet.

TΤ 147511-69-1, NK 104

> RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(stable pharmaceutical composition containing NK-104)

RN

147511-69-1 CAPLUS 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:112489 CAPLUS

DOCUMENT NUMBER: 139:46353

TITLE: Metabolic fate of pitavastatin, a new

inhibitor of HMG-CoA reductase-effect of cMOAT

deficiency on hepatobiliary excretion in rats and of mdrla/b gene disruption on tissue distribution in mice

AUTHOR(S): Fujino, Hideki; Yamada, Iwao; Shimada, Syunsuke;

Kojima, Junji

CORPORATE SOURCE: Tokyo New Drug Research Laboratories I, Kowa Company

Ltd., Tokyo, 189-0022, Japan

SOURCE: Drug Metabolism and Pharmacokinetics (2002),

17(5), 449-456

CODEN: DMPRB8; ISSN: 1347-4367

PUBLISHER: Japanese Society for the Study of Xenobiotics

DOCUMENT TYPE: Journal LANGUAGE: English

AB Pitavastatin is a potent competitive inhibitor of HMG-CoA reductase. In the current study, to elucidate the hepatobiliary excretion of pitavastatin, we investigated the plasma concentration and biliary excretion of 14C-pitavastatin in EHBR. We also evaluated the distribution of pitavastatin in mdrla/b knockout mice by whole body autoradiog. and quant. radioassay. In view of the widespread clin. use of pitavastatin and the importance of drug-drug interaction, the inhibitory effect on Pgp-mediated activation of ATPase was also investigated. No marked difference was observed in the plasma

concentration and biliary excretion of radioactivity between SDR and EHBR after dosing of 14C-pitavastatin. Little radioactive transfer into the brain was detected in mdrla/b knockout mice and the ATPase activity of human Pgp was negligible in the presence of pitavastatin. Moreover, no inhibitory effect on the Pgp-mediated activation of ATPase by verapamil was found in the presence of pitavastatin over a wide concentration range. These results indicated that a cMOAT and Pgp-mediated transport mechanism did not play a major role in the distribution of pitavastatin.

IT 147511-69-1, Pitavastatin

RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(biliary excretion of pitavastatin across the canalicular membrane in relation to cMOAT and P-qlycoprotein)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:340851 CAPLUS

DOCUMENT NUMBER: 137:320163

TITLE: A randomized, double-blind trial comparing the

efficacy and safety of pitavastatin versus

pravastatin in patients with primary

hypercholesterolemia

Saito, Yasushi; Yamada, Nobuhiro; Teramoto, Tamio; AUTHOR(S):

Itakura, Hiroshige; Hata, Yoshiya; Nakaya, Noriaki; Mabuchi, Hiroshi; Tushima, Motoo; Sasaki, Jun; Ogawa,

Nobuya; Goto, Yuichiro

CORPORATE SOURCE: School of Medicine, The Second Department of Internal

Medicine, Chiba University, Chiba, Japan Atherosclerosis (Shannon, Ireland) (2002),

162(2), 373-379 CODEN: ATHSBL; ISSN: 0021-9150

PUBLISHER: Elsevier Science Ireland Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

SOURCE:

Pitavastatin (p-INN) is a novel and fully synthetic AB 3-hydroxy-3-methylglutaryl CoA (HMG-CoA) reductase inhibitor, with a cholesterol-lowering action stronger than that of other statins currently in use. A 12-wk, multi-center, randomized, double-blind, controlled study was conducted to confirm the efficacy and safety of pitavastatin compared with pravastatin, an agent for using to reduce low d. lipoprotein cholesterol (LDL-C) in hypercholesterolemic patients. Patients were recruited at 43 institutes in Japan. Following more than 4 wk run-in period, 240 patients were randomized to receive 2 mg of pitavastatin or 10 mg of pravastatin daily. At 12 wk post-randomization, the pitavastatin group showed significantly lower LDL-C levels by -37.6% from baseline compared with -18.4% in the pravastatin group (P<0.05). Pitavastatin also significantly lowered total cholesterol (TC) by -28.2% compared with -14.0% of pravastatin (P<0.05). The LDL-C target level of <140 mg/dL was attained in 75% of the patients treated with pitavastatin, compared with 36% of those in the pravastatin group (P<0.05). Pitavastatin also significantly reduced triglycerides (TG), apo B, C-II and C-III, compared with pravastatin, and increased HDL-C, apo A-I and A-II, to the

same extent of pravastatin. Safety was assessed by monitoring adverse events and measuring clin. laboratory parameters. The adverse event profile was

similar for both treatment groups and neither treatment caused clin.

relevant laboratory abnormalities. These results indicated that pitavastatin was more effective than pravastatin, and both drugs were well-tolerated in the treatment of hypercholesterolemia.

IT 147511-69-1, Pitavastatin

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (comparing the efficacy and safety of pitavastatin vs.

pravastatin in patients with primary hypercholesterolemia)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:149001 CAPLUS

DOCUMENT NUMBER: 139:239363

TITLE: Pitavastatin (Nissan/Kowa Yakuhin/Novartis/Sankyo)

AUTHOR(S): Flores, Nicholas A.

CORPORATE SOURCE: Institute of Urology and Nephrology, Division of

Applied Physiology, University College London, London,

W1W 7EY, UK

SOURCE: Current Opinion in Investigational Drugs (PharmaPress

Ltd.) (2002), 3(9), 1334-1341 CODEN: COIDAZ; ISSN: 1472-4472

PUBLISHER: PharmaPress Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Pitavastatin (nisvastatin) is an HMG CoA reductase inhibitor being developed jointly by Nissan, Kowa Kogyo, Novartis and Sankyo for the potential treatment of atherosclerosis and hyperlipidemia.

IT 147511-69-1P, Pitavastatin

RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiarteriosclerotic, antihypercholesterolemic, and antihyperlipidemic actions of pitavastatin)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:669870 CAPLUS

DOCUMENT NUMBER: 136:79688

TITLE: Pitavastatin enhanced BMP-2 and osteocalcin

expression by inhibition of Rho-associated kinase in

human osteoblasts

AUTHOR(S): Ohnaka, Keizo; Shimoda, Seiko; Nawata, Hajime;

Shimokawa, Hiroaki; Kaibuchi, Kozo; Iwamoto, Yukihide;

Takayanagi, Ryoichi

CORPORATE SOURCE: Department of Geriatric Medicine, Kyushu University,

Higashi-ku, Fukuoka, 812-8582, Japan

SOURCE: Biochemical and Biophysical Research Communications (

2001), 287(2), 337-342

CODEN: BBRCA9; ISSN: 0006-291X

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal LANGUAGE: English

To clarify the mechanism of the stimulatory effect of 3-hydroxy-3methylglutaryl CoA (HMG-CoA) reductase inhibitors (statins) on bone formation, we investigated the effect of pitavastatin, a newly developed statin, on expression of bone morphogenetic protein-2 (BMP-2) and osteocalcin in primary cultured human osteoblasts. Pitavastatin increased the expression level of mRNA for BMP-2, and much more effectively for osteocalcin. This stimulatory effect was abolished by the addition of geranylgeranyl pyrophosphate, an essential mol. for prenylation of small GTP-binding proteins such as Rho GTPase, but not by inhibitors of nitric oxide synthase and various protein kinases. Pitavastatin suppressed the Rho-associated kinase (Rho-kinase) activity. Hydroxyfasudil, a specific inhibitor of Rho-kinase, increased BMP-2 and osteocalcin expression. These mRNA levels were strongly suppressed by dexamethasone, but restored by co-treatment with hydroxyfasudil. These observations suggest that the Rho-kinase neg. regulates bone formation and the inhibition of Rho and Rho-kinase pathway is the major mechanism of the statin effect on bone. Moreover, a Rho-kinase inhibitor may be a new therapeutic reagent for the treatment of osteoporosis such as glucocorticoid-induced osteoporosis. (c) 2001 Academic Press.

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)

(pitavastatin enhanced BMP-2 and osteocalcin expression by inhibition of Rho-associated kinase in human osteoblasts)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:503284 CAPLUS

DOCUMENT NUMBER: 127:113387

TITLE: Pharmaceutical composition containing

quinolinheptenoic acid derivatives stabilized with a

basic agent

INVENTOR(S): Muramatsu, Toyojiro; Mashita, Katsumi; Shinoda, Yasuo;

Sassa, Hironori; Kawashima, Hiroyuki; Tanizawa,

Yoshio; Takeuchi, Hideatsu

PATENT ASSIGNEE(S): Kowa Company, Ltd., Japan; Nissan Chemical Industries,

Ltd.

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D.	ATE		
WO	9723	200			A1		 1997	0703		 WO 1	 996-	 JP37	 22		1	 9961	220	<
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,	EE,	
		ES,	FΙ,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	KR,	KΖ,	LK,	LR,	LS,	LT,	
		•									NZ,			RO,	RU,	SD,	SE,	
											US,							
	RW:										DE,							
						•	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	ML,	
					TD,													
	2213						1997		1	CA 1	996-	2213	608		1	9961	220	<
	2213						2003											
	9610						1997				996-					9961		
	9711						1997		-	AU 1	997-	1171	5		1	9961	220	<
	7256						2000											
	8147									EP 1	996-	9425	88		1	9961	220	<
EP	8147				B1		2002											
	R:	AT,				DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	ΝL,	SE,	MC,	PT,	
		•	SI,	FΙ,											_			
	1189				A						996-					9961		
JP	1150				_		1999			JP 1	997-	5235	00		1	9961	220	<
JP	3276				B2		2002	-					o =		_			
RU	2142				-		1999	-			997-				_	9961		
_	9903				A2		2000			HU 1	999-	3536			1	9961	220	<
	9903				A3		2001			~ ·	007	0601				0064	000	
CZ	2885	45			В6		2001	0 /11	1	CZ 1	997-	2681			1	9961	220	<

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IL 121565
                                 20020210
                                             IL 1996-121565
                                                                     19961220 <--
                          Α
     AT 228354
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                                 20021215
                                             AT 1996-942588
                                                                     19961220 <--
     SK 282991
                          В6
                                 20030109
                                             SK 1997-1160
                                                                     19961220
                                             ES 1996-942588
     ES 2183023
                          Т3
                                 20030316
                                                                     19961220
     PT 814782
                                 20030430
                                             PT 1996-942588
                           Т
                                                                     19961220
     PL 186907
                          В1
                                 20040331
                                             PL 1996-321868
                                                                     19961220
                                             TW 1996-85115860
     TW 436294
                          В
                                 20010528
                                                                     19961221 <--
                          Α
                                             NO 1997-3814
     NO 9703814
                                 19971013
                                                                     19970819 <--
     NO 316724
                                 20040419
                          В1
PRIORITY APPLN. INFO.:
                                             JP 1995-354654
                                                                  A 19951222
                                                                  W 19961220
                                             WO 1996-JP3722
```

AB Disclosed is a pharmaceutical composition comprising

(E)-3, 5-dihydroxy-7-[4'-

4''-fluorophenyl-2'-cyclopropyl-quinolin-3'-yl]-6-heptenoic acid (NK-104), or its salt or ester, of which the aqueous solution or dispersion has a pH of from 7 to 8. The composition has good time-dependent stability and has no change in its outward appearance even after having been stored long. A pharmaceutical tablet contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropylmethyl cellulose 2.0, magnesium metasilicate aluminate 2.4, and magnesium stearate 1.2 mg.

IT 147511-69-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

 $\hbox{(pharmaceutical composition containing quinolinheptenoic acid derivs.}\\$

with basic agent)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

IT 147526-32-7 192565-91-6

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical composition containing quinolinheptenoic acid derivs. stabilized

with basic agent)

RN 147526-32-7 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

192565-91-6 CAPLUS RN

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, potassium salt (1:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

K

L10 ANSWER 13 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

2001:727759 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 138:314487

TITLE: Pitavastatin enhanced BMP-2 and osteocalcin

expression by inhibition of Rho-associated kinase in human osteoblasts. [Erratum to document cited in

CA136:79688]

AUTHOR(S): Ohnaka, Keizo; Shimoda, Seiko; Nawata, Hajime;

Shimokawa, Hiroaki; Kaibuchi, Kozo; Iwamoto, Yukihide;

Takayanagi, Byoichi

CORPORATE SOURCE: Department of Geriatric Medicine, Kyushu University,

Higashi-ku, Fukuoka, 812-8582, Japan

SOURCE: Biochemical and Biophysical Research Communications (

2001), 287(5), 1167 CODEN: BBRCA9; ISSN: 0006-291X

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal LANGUAGE: English

AB On page 337, in the author line, the affiliations of the last author were incorrectly represented. Ryoichi Takayanagi is associated with the Department of Geriatric Medicine and CREST, not with the Department of Medicine and Bioregulatory Science and CREST as printed.

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)

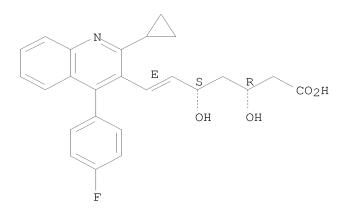
(pitavastatin enhanced BMP-2 and osteocalcin expression by

inhibition of Rho-associated kinase in human osteoblasts (Erratum))

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 14 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:796300 CAPLUS

DOCUMENT NUMBER: 139:127088

TITLE: Novel Statins: Pharmacological and Clinical Results AUTHOR(S): Bolego, Chiara; Poli, Andrea; Cignarella, Andrea;

Catapano, Alberico L.; Paoletti, Rodolfo

CORPORATE SOURCE: Nutrition Foundation of Italy, Milan, 20121, Italy

SOURCE: Cardiovascular Drugs and Therapy (2002),

16(3), 251-257

CODEN: CDTHET; ISSN: 0920-3206 Kluwer Academic Publishers

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

PUBLISHER:

AB A review. Rosuvastatin (ZD4522) and pitavastatin (NK-104) are novel HMG-CoA reductase inhibitors with a peculiar pharmacol. profile. In particular, they show a high potency in decreasing LDL-C and their catabolism is not mediated by the cytochrome P 450 3A4, thus reducing the potential for drug-drug interaction and improving the management of blood cholesterol. As the magnitude of LDL-C reduction is directly associated with the decrease in the incidence of myocardial infarction and mortality for CAD, statins with increased LDL-C lowering potency may ensure the achievement of target LDL-C levels and offer a more aggressive cholesterol control, further improving CAD morbidity and mortality.

IT 147511-69-1, Pitavastatin

RL: ADV (Adverse effect, including toxicity); DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. and clin. results of new statins)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 15 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:615881 CAPLUS

DOCUMENT NUMBER: 137:139496

TITLE: Process for producing (3R,5S)-(E)-7-[2-cyclopropyl-4-

(4-fluorophenyl)-quinolin-3-yl]-3,5-dihydroxyhept-6-

enoic acid ester and derivatives

INVENTOR(S): Hara, Mari; Takuma, Yuki; Katsurada, Manabu; Hosokawa,

Akemi; Matsumoto, Youichi; Kasuga, Yuzo; Watanabe,

Naoyuki

PATENT ASSIGNEE(S): Mitsubishi Chemical Corporation, Japan; Nissan

Chemical Industries, Ltd.

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KINI)	DATE					ION I			D	ATE		
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	W:	ΑE,	ΑG,	AL,	ΑM,	AT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	ΚE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	
		US,	UΖ,	VN,	YU,	ZA,	ZM,	ZW										
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	ΓI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG	
JP	2003	1378	70		А		2003	0514		JP 2	001-	3314	80		2	0011	029	
	2437						2002											<
AU	2002																	
	2002																	
JP	2002	3008	97		A		2002	1015		JP 2	002-	2542	3		2	0020	201	<
JP	4000	263			В2		2007	1031										
EP	1365	029			A1		2003	1126		EP 2	002-	7104	61		2	0020	201	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
							RO,											
CN	1633	502			A		2005	0629		CN 2	002-	8078	52		2	0020	201	
US	2004	0030	139		A1		2004	0212		US 2	003-	6298	65		2	0030	730	
US	6965	031			В2		2005	1115										
IN	2003									IN 2	003-	CN13.	56		2	0030	828	
PRIORIT												2631						

JP 2001-331480 A 20011029 WO 2002-JP835 W 20020201

CASREACT 137:139496; MARPAT 137:139496

OTHER SOURCE(S): GI

AB A process for producing the title compound (I) and optically active derivs. with microorganism by fermentation was given. I is useful as serum cholesterol-reducing agent. Preparation of Et ester of I (3R, 5S-DOLE) and its derivs. 3S,5R-, 3S,5S-, and 3R,5R-DOLE with Saccharomycopsis fibuligera from 5-Mol, i.e. 5-(E)-7-[2-cyclopropyl-4-(fluorophenyl)-quinolin-3-yl]-5-hydroxy-3-oxohepto-6-enoic acid Et ester was shown.

IT 147511-69-1P 167073-19-0P RL: BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)

Ι

(process for producing (3R,5S)-(E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid ester and derivs.)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

RN 167073-19-0 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, ethyl ester, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 16 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:437338 CAPLUS

DOCUMENT NUMBER: 138:66410

TITLE: Inhibition of migration and proliferation of rat

vascular smooth muscle cells by a new HMG-CoA

reductase inhibitor, pitavastatin

AUTHOR(S): Kohno, Masakazu; Shinomiya, Kaori; Abe, Satomi; Noma,

Takahisa; Kondo, Isao; Oshita, Akira; Takeuchi,

Hiroto; Takagi, Yuichiro; Yukiiri, Kazushi; Mizushige,

Katsufumi; Ohmori, Koji

CORPORATE SOURCE: Second Department of Internal Medicine, School of

Medicine, Kagawa Medical University, Kagawa, 761-0793,

Japan

SOURCE: Hypertension Research (2002), 25(2), 279-285

CODEN: HRESE4; ISSN: 0916-9636

PUBLISHER: Japanese Society of Hypertension

DOCUMENT TYPE: Journal LANGUAGE: English

The migration and proliferation of vascular smooth muscle cells (SMCs) are known to play roles in the pathogenesis of atherosclerosis. Therapy with a reductase inhibitor of 3-hydroxy-3 methylglutaryl CoA (HMG-CoA) (statin) produces significant alterations in various SMC functions. The objectives of the present study were to determine whether pitavastatin, a new

chemical synthesized and powerful statin, can affect angiotensin II (Ang

II)and platelet-derived growth factor (PDGF)-induced migration and proliferation of cultured rat vascular SMCs. The effect of pitavastatin on cell viability was also examined in these cells. Migration was evaluated by the Boyden's chamber method using microchemotaxis chambers. As expected, Ang II and PDGF BB potently stimulated cell migration in a concentration-dependent manner. Pitavastatin significantly inhibited Ang II (10-6 mol/L)-induced migration at the concns. of 10-8 and 10-7 mol/L. Pitavastatin also inhibited PDGF BB (1 ng/mL)-induced migration at concns. between 10-9 and 10-8 mol/L in a relatively concentration-dependent manner. This statin modestly but significantly inhibited Ang II (10-6 mol/L)- and PDGF BB (1ng/mL)-induced DNA synthesis at concns. between 10-9 and 10-7 mol/L. addition, pitavastatin clearly inhibited Ang II (10-6 mol/l) and PDGF BB (1 ng/mL)-induced increases of cell number at concns. between 10-9 and 10-7mol/l. Pitavastatin did not affect lactate dehydrogenase release from these cells at the concns. used in this

dehydrogenase release from these cells at the concns. used in this experiment

In a trypan blue exclusion test, dead cells stained with trypan blue were not found 24 h after treatment with 10-9, 10-8 or 10-7 mol/L of pitavastatin. These findings suggest that pitavastatin suppresses the migration and proliferation stimulated by Ang II and PDGF BB without affecting cell viability. Pitavastatin may exert an

anti-atherogenic effect, in part, through these mechanisms.

TТ 147511-69-1, Pitavastatin

> RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of migration and proliferation of rat vascular smooth muscle cells by a new HMG-CoA reductase inhibitor, pitavastatin

147511-69-1 CAPLUS RN

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 34 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:642388 CAPLUS

DOCUMENT NUMBER: 138:180063

TITLE: Pharmacology of 3-hydroxy-3-methylglutaryl-coenzyme A

reductase inhibitors (statins), including rosuvastatin

and pitavastatin

Igel, Michael; Sudhop, Thomas; von Bergmann, Klaus Department of Clinical Pharmacology, University of AUTHOR(S): CORPORATE SOURCE:

Bonn, Bonn, Germany

Journal of Clinical Pharmacology (2002), SOURCE:

42(8), 835-845

CODEN: JCPCBR; ISSN: 0091-2700

PUBLISHER: Sage Publications

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. Coronary heart disease (CHD) is the leading cause of morbidity and mortality in the Western world, with hypercholesterolemia as the major risk factor. The 3-hydroxy-3-methylglutaryl-CoA reductase inhibitors represent the most efficient drugs for the treatment of hypercholesterolemia. They lower plasma cholesterol due to the inhibition of endogenous cholesterol synthesis in the liver and subsequent increased expression of low-d. lipoprotein (LDL) receptors, resulting in an up-regulated catabolic rate for plasma LDL. The beneficial effect of statins on the incidence of CHD was clearly demonstrated in several large-scale clin. trials. Currently, five statins (atorvastatin, fluvastatin, lovastatin, pravastatin, and simvastatin) are available, and two novel compds. (pitavastatin, rosuvastatin) are undergoing clin. investigation. To point out potential mechanisms leading to increased toxicity and to compare the novel statins with the established ones, this article summarizes their pharmacol. data since the prevalence of adverse events can be explained at least in part by their pharmacokinetic differences.

147511-69-1, Pitavastatin IΤ

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacol. of 3-hydroxy-3-methylglutaryl-CoA reductase inhibitors (statins), including rosuvastatin and pitavastatin)

147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

RN

CN

REFERENCE COUNT: 117 THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 18 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:832618 CAPLUS

DOCUMENT NUMBER: 137:337790

TITLE: Preparation of 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-

quinolyl]-3,5-dihydroxy-6-heptenoic acid as remedial

agent for glomerular disease

INVENTOR(S): Nakagawa, Takashi; Suda, Makoto; Yamauchi, Youichi

PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,

Ltd.

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	TENT :	NO.			KIN	D	DATE		-	APPL:	ICAT	ION :	NO.			ATE	
WO	2002	 0853	 63		A1				,	WO 2	002-	 JP38	 70				418 <
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NΖ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW							
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		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
AU	2002	2514	83		A1		2002	1105	-	AU 2	002-	2514	83		2	0020	418 <
EP	1386	608			A1		2004	0204		EP 2	002-	7204	93		2	0020	418
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
US	2004	0116	468		A1		2004	0617		US 2	003-	4741	94		2	0031	016
PRIORIT	Y APP	LN.	INFO	. :						JP 2	001-	1210	58		A 2	0010	419
										JP 2	001-	3612	57		A 2	0011	127

Disclosed is a preventive or remedy for glomerular diseases which contains as the active ingredient the compound represented by the following formula (I) or a salt of the compound The preventive or remedy is useful as a preventive or remedy for various glomerular diseases including IgA kidney disease, glomerulosclerosis, membranous nephropathy, membranous proliferative nephritis, and chronic glomerulonephritis. The compound I is known to possess excellent HMG-CoA reductase inhibitory activity (no data). Thus, calcium bis[(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoate] (II) was prepared via conversion of 2-amino-4'-fluorobenzophenone into Me 3-cyclopropyl-4-(4-fluorophenyl)-3-quinolinecarboxylate by the known procedures. II showed IC50 of 22.4 μ M for inhibiting the production of phosphatidylinositol 4-phosphate (PIP) stimulated by TGF- β 1 in human glomerular interstitial cell CryoNHMC (mesangium cell).

IT 147511-69-1P, (+)-(3R,5S,6E)-7-[2-Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3, 5-dihydroxy-6-heptenoic acid 147526-32-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [cyclopropyl(fluorophenyl)quinolyl]hydroxyheptenoic acid

as

remedial agent for glomerular diseases)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

RN 147526-32-7 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

●1/2 Ca

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 19 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:392219 CAPLUS

DOCUMENT NUMBER: 136:406945

TITLE: Methods for in vivo drug delivery based on monitoring

blood flow parameters

INVENTOR(S): Kensey, Kenneth R.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 727,950.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

PAT	rent	ΝΟ.			KIN		DATE			APPL	_	-				ATE		
	2002 6019				A1 A		2002 2000	0523		US 2	001-	8287	61		2	0010 9970:	409	
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Various methods are provided for determining and utilizing the viscosity of the

circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as

peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

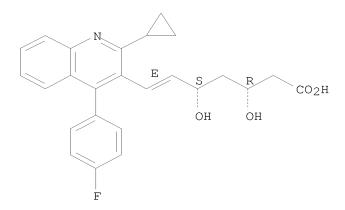
ΙΤ 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods for in vivo drug delivery based on monitoring blood flow parameters)

147511-69-1 CAPLUS RN

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 20 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:727843 CAPLUS

DOCUMENT NUMBER: 138:348132

TITLE: HMG-CoA reductase inhibition prevent vascular diseases

by specifically targeting the transcription

AUTHOR(S):

Morikawa, Shigeru; Hamakubo, Takao; Kodama, Tatsuhiko Graduate School of Life and Science and Engineering, Tokyo Institute of Technology, Japan CORPORATE SOURCE:

SOURCE: Molecular Medicine (Tokyo, Japan) (2002),

39(7), 842-846

CODEN: MOLMEL; ISSN: 0918-6557

PUBLISHER: Nakayama Shoten

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

A review. HMG-CoA reductase inhibitors statins are wildly used as AB anticholesteremics, and their mechanisms are related with transcription factor SREBP and LDL receptors. Pitavastatin is an example is used in this review to demonstrate the clin. use.

ΙT 147511-69-1, Pitavastatin

> RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibition prevent vascular diseases by specifically targeting the transcription)

147511-69-1 CAPLUS RN

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 21 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

2003:319495 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 138:343864

TITLE: In vivo delivery methods and compositions

INVENTOR(S): Kensey, Kenneth

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S. Ser. No. 819,924. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

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US CA	2003 6019 2301 9910	0078 735 161	517		A1 A A1		2003 2000 1999	0424 0201 0304		US 2 US 1 CA 1 WO 1	997- 998-	9199 2301	06 161		2 1 1	0010 9970 9980	
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     Various methods are provided for determining and utilizing the viscosity of
AB
the
     circulating blood of a living being over a range of shear rates for
     diagnostics and treatment, such as detecting/reducing blood viscosity,
     work of the heart, contractility of the heart, for detecting/reducing the
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     explaining/countering endothelial cell dysfunction, for providing high and
     low blood vessel wall shear stress data, red blood cell deformability
     data, lubricity of blood, and for treating different ailments such as
     peripheral arterial disease in combination with administering to a living
     being at least 1 drug. Agents effective to regulate at least 1 of the
     aforementioned blood parameters are used to adjust distribution of a
     substance through the bloodstream.
ΙT
     147511-69-1, Pitavastatin
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
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RN
     147511-69-1 CAPLUS
     6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
CN
     dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).
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Double bond geometry as shown.

L10 ANSWER 22 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

2002:185688 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 136:252567

TITLE: Methods for drug administration and distribution based

on monitoring blood viscosity and other parameters for

diagnostics and treatment

INVENTOR(S): Kensey, Kenneth

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.

Ser. No. 819,924. CODEN: USXXCO

Patent

DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE		CATION NO.	
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     Various methods are provided for determining and utilizing the viscosity of
the
     circulating blood of a living being, i.e., a human, over a range of shear
     rates for diagnostics and treatment, such as detecting/reducing blood
     viscosity, work of the heart, contractility of the heart, for
     detecting/reducing the surface tension of the blood, for detecting plasma
     viscosity, for explaining/countering endothelial cell dysfunction, for
     providing high and low blood vessel wall shear stress data, red blood cell
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circulating blood of a living being, i.e., a human, over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream. For example, when blood viscosity is a blood flow parameter monitored, an agent is selected from i.v. diluents, red blood cell deformability agents, antiurea agents, oral contraceptives, antidiabetic agents, antiarrhythmics, antihypertensives, antihyperlipidemics, antiplatelet agents, appetite suppressants, antiobesity agents, blood modifiers, smoking deterrent agents, and nutritional supplements.

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (apparatus and methods for monitoring blood viscosity and other parameters

in drug delivery for diagnostics and treatment)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 23 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:440183 CAPLUS

DOCUMENT NUMBER: 138:100712

TITLE: Triglyceride-lowering effect of pitvastatin in a rat

model of postprandial lipemia

AUTHOR(S): Aoki, Taro; Yoshinaka, Yasunobu; Yamazaki, Hiroyuki;

Suzuki, Hideo; Tamaki, Taro; Sato, Fumiyasu; Kitahara,

Masaki; Saito, Yasushi

CORPORATE SOURCE: Pharmaceutical Division, Tokyo Research Laboratories,

Kowa Company, Ltd., 2-17-43, Tokyo, Higashimurayama,

189-0022, Japan

SOURCE: European Journal of Pharmacology (2002),

444(1-2), 107-113

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB The triglyceride-lowering effect of pitavastatin, a potent 3-hydroxy-3-methylglutaryl-CoA (HMG-CoA) reductase inhibitor, was investigated in a rat model of postprandial lipemia. Plasma triglyceride

levels started to increase 4 h after the fat load, reached the maximum at 6

h

and then gradually decreased. A single dose of pitavastatin (1 mg/kg) significantly suppressed chylomicron-triglyceride secretion into the lymph by 40% and delayed the elevation of plasma triglyceride. Pitavastatin at 1 mg/kg decreased the 6-h plasma triglyceride levels by 53% and at 0.5 mg/kg decreased the 0-12 h area under the curve (AUC) of triglyceride levels by 56%. Atorvastatin also caused decreases, but to a lesser extent. Pitavastatin, and atorvastatin to a lesser extent, reduced the activity of the intestinal microsomal triglyceride transfer protein (MTP) at 6 h. These results suggested that a single dose of pitavastatin lowered postprandial triglyceride levels in rats by decreasing chylomicron-triglyceride secretion, probably through a reduction of intestinal MTP activity and triglyceride droplet formation in the endoplasmic reticulum.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(triglyceride-lowering effect of pitvastatin in a rat model of postprandial lipemia)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 24 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:208097 CAPLUS

DOCUMENT NUMBER: 134:247262

TITLE: Phosphodiesterase inhibitor-hypolipidemic agent

combination for the treatment of sexual dysfunction

INVENTOR(S): Bischoff, Erwin; Bischoff, Hilmar; Giuliano, Francois

PATENT ASSIGNEE(S): Bayer A.-G., Germany SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

LANGUAGE: Ge FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT						DATE			APPL						ATE 	
WO		0193	57		A2												911 <
	W:	AE, CR, HU, LU, SD, YU,	AG, CU, ID, LV, SE, ZA,	AL, CZ, IL, MA, SG, ZW	AM, DE, IN, MD, SI,	AT, DK, IS, MG, SK,	AU, DM, JP, MK, SL,	AZ, DZ, KE, MN, TJ,	BA, EE, KG, MW, TM,	ES, KP, MX, TR,	FI, KR, MZ, TT,	GB, KZ, NO, TZ,	GD, LC, NZ, UA,	GE, LK, PL, UG,	GH, LR, PT, US,	CH, GM, LS, RO, UZ,	HR, LT, RU, VN,
	2000	DE,	DK,	ES,	FI,	FR,		GR,	IE,	IT,	LU,	MC,	NL,	PT,		BF,	
CA	2386	4161 583			A1 A1		2001 2001	0322 0322		DE 1°	999- 000-	1994 2386	4161 583		2	0000	915 < 911 < 911 <
	1216 1216	039			В1		2005	0316									911 <
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	2006	0189	624							US 2 DE 1	006- 999-	3477 1994	41 4161		2 A 1	0060: 9990:	203 915
OTHER C	JIIDCE	(6).			MADI	ח ת כח	124.	2472		WO 2 US 2						0000	

OTHER SOURCE(S): MARPAT 134:247262

 ${\tt AB} \quad {\tt A} \mbox{ combination preparation is disclosed for the treatment of sexual dysfunction}$

in men or women containing at least one active ingredient A and one active ingredient B as pharmaceutically active ingredients, in which the active ingredient A is a phosphodiesterase inhibitor, preferably a cGMP phosphodiesterase inhibitor and the active ingredient B a lipid-reducing agent. Both the active ingredients A and B can be administered

simultaneously or at alternate intervals, i.e., as a functional unit or separated from each other.

IT $14\overline{1750-63-2D}$, Itavastatin, esters and tautomers

147511-69-1, Itavastatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(phosphodiesterase inhibitor-hypolipidemic agent combination for the treatment of sexual dysfunction)

RN 141750-63-2 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 25 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:813874 CAPLUS

DOCUMENT NUMBER: 137:311199

TITLE: Amino acid complexes of C-aryl glucosides for

treatment of diabetes

INVENTOR(S): Gougoutas, Jack Z.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	TENT						DATE				ICAT					ATE		
WO	2002	0830	66		A2											0020	408	<
	W: RW:	AE, CO, GM, LS, PL, UA, GH, CY, BF,	AG, CR, HR, LT, PT, UG, GM, DE, BJ,	AL, CU, HU, LU, RO, US, KE, DK, CF,	AM, CZ, ID, LV, RU, UZ, LS, ES, CG,	AT, DE, IL, MA, SD, VN, MW, FI, CI,	AU, DK, IN, MD, SE, YU, MZ, FR, CM,	AZ, DM, IS, MG, SG, ZA, SD, GB, GA,	BA, DZ, JP, MK, SI, ZM, SL, GR,	EC, KE, MN, SK, ZW SZ, IE, GQ,	EE, KG, MW, SL, TZ, IT, GW,	ES, KP, MX, TJ, UG, LU, ML,	FI, KR, MZ, TM, ZM, MC, MR,	GB, KZ, NO, TN, ZW, NL, NE,	GD, LC, NZ, TR, AT, PT, SN,	GE, LK, OM, TT, BE, SE, TD,	GH, LR, PH, TZ, CH, TR,	
	2444 2002																	
	2002									AU 2	002-	2343	0 /		4	0020	400	
	2003 6774						2003 2004			US 2	002-	1179	14		2	0020	408	
EP	1385 1385	856			A2			0204		EP 2	002-	7238	01		2	0020	408	
	R:	AT,	BE,	CH,	DE,	DK,	ES, RO,	FR,				LI,	LU,	NL,	SE,	MC,	PT,	
JP	2004											5808	71		2	0020	408	
ΑТ	3182	72			Т		2006	0315		AT 2	0.02 -	7238	0.1		2	0020	408	
ES	2258	141			Т3		2006	0816		ES 2	002-	7238	01		2	0020	408	
	2006																	
PRIORIT	2008 Y APP				AI		2008	0207			008-							
		•	0	- •						AU 2	002-	2545	67		A3 2	0020	408	
										WO 2	002-	US11	066		W 2	0020	408	
OTHER SO	DURCE	(S):			MAR	PAT	137:	3111	99									

GΙ

AB Crystalline complexes are obtained from 1:1 or 2:1 mixts. of either the (D) or

Ι

(L) enantiomer of natural amino acids and compds. of formula I [R1, R2, R2a = H, OH, OR5, alkyl, OCHF2, OCF3, SR5a, halogen; R3, R4 = H, OH, OR5b, alkyl, cycloalkyl, CF3, OCHF2, OCF3, halogen, CONR6R6a, CO2R5c, CO2H, COR6b, CH(OH)R6c, CH(OR5d)R6d, CN, NHCOR5e, NHSO2R5f, NHSO2-aryl, SR5g, SOR5h, SO2R5i, or a five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms (N, O, S, SO, and/or SO2), or R3 and R4 together with the carbons to which they are attached form an annelated five, six or seven membered carbocycle or heterocycle which may contain 1 to 4 heteroatoms in the ring; R5, R5a-R5i are independently alkyl; R6, R6a-R6d are independently H, alkyl, aryl, alkylaryl or cycloalkyl, or NR6R6a form an annelated five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms in the ring]. A method is also provided for treating diabetes and related diseases employing an SGLT2 (sodium dependent glucose transporters found in the intestine and kidney)

inhibiting amount of the above complex alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, I (R1 = 4-Me, R4 = 4-OCHF2, R2, R2a, R3 = H) was prepared by a multistep procedure starting from o-toluic acid, anisole, 2,3,4,6-tetra-O-benzyl- β -D-glucolactone, and CHF2Cl and treated with L-phenylalanine to form the crystalline 1:1 complex.

IT 141750-63-2, Nisvastatin 147511-69-1,

Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

RN 141750-63-2 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 26 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:868726 CAPLUS

DOCUMENT NUMBER: 137:358160

TITLE: Pharmaceutical composition comprising a HMG-CoA

reductase inhibitor

INVENTOR(S): Hedge, Deepak; Kulkarni, Sushrut

PATENT ASSIGNEE(S): Biochemie Gesellschaft m.b.H., Austria

SOURCE: PCT Int. Appl., 13 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

		TENT				KIN:		DATE				ICAT					ATE		
	WO	2002	0897	88		A2				1								503 <	_
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	ΑU	2002	3107	98		A1		2002	1118		AU 2	002-	3107	98		2	0020	503 <	_
	EP	1392	277			A2		2004	0303		EP 2	002-	7353	31		2	0020	503	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
	US	2004	0167	085		A1		2004	0826	1	US 2	004 -	4768	16		2	0040	413	
	US	6911	472			В2		2005	0628										
PRIO:	RIT	Y APP	LN.	INFO	.:					(GB 2	001-	1107	7		A 2	0010	504	
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AB A pharmaceutical composition comprising an HMG-CoA reductase inhibitor, i.e., a

statin, as an active ingredient, and an aminosugar, as a pH adjusting (basifying) agent, is described. Compns. comprising dehydroepiandrosterone (DHEA), a desquamating agent selected from retinoids, acylated salicylic acid derivs. or HMG-CoA reductase inhibitors, and sugar derivs., and comprising germs for a koji-making raw material and monacolin K, are excluded. For example, tablets were obtained containing pravastatin sodium 10.00%, lactose (filler) 68.20%, microcryst. cellulose (filler) 13.50%, polyvinylpyrrolidone (binder) 0.50%, croscarmellose sodium (disintegrant) 6.00%, Mg stearate (lubricant) 1.00%, and Meglumine (pH adjusting agent) 0.80%. Tablets were stable for > 1 mo under normal environment humidity conditions.

IT 141750-63-2, Nisvastatin 147511-69-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of tablets of HMG-CoA reductase inhibitors containing aminosugar as

pH adjusting agent)

RN 141750-63-2 CAPLUS

CN 2H-Pyran-2-one, 6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-, (4R,6S)- (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 27 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:484862 CAPLUS

DOCUMENT NUMBER: 137:41779

TITLE: Nutritional supplements for stimulating bone growth INVENTOR(S): Mundy, Gregory R.; Garrett, I. Ross; Gutierrez, Gloria

Ε.

PATENT ASSIGNEE(S): Osteoscreen, Inc., USA

SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 488,380.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.			KIN:	D	DATE			APPL	ICAT	ION	NO.		D.	ATE	
	6410 6080	-			B1 A		2002 2000										403 < 612 <
US	6376	476			В1		2002	0423		US 2	000-	4883	80		2	0000	120 <
WO	2001	0741	80		A1		2001	1011		WO 2	001-	US40	421		2	0010	402 <
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,
		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
		VN,	YU,	ZA,	ZW												
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		вJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG		·
EP	1267	641		•	A1		2003	0102		EP 2	001-	9274	31	•	2	0010	402
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR	·	·	•	•	•	·
PRIORIT	Y APP	LN.	INFO	.:	,	·	,	•		us i	998-	9663	1		A2 1	9980	612
										US 1	998-	9695	7		A2 1	9980	612
										US 2	000-	4883	80		A2 2	0000	120
										US 1	996-	3289	3P		P 1	9961	213
										US 1	997-	9898	62		A2 1	9971	212
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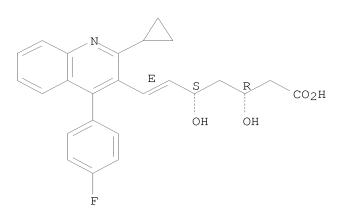
AB A food or food supplement which comprises a compound that enhances bone growth in vertebrates is described wherein the food or foodstuff is formulated so as to provide the desired bone growth enhancing effect. The

Absolute stereochemistry. Double bond geometry as shown.

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 28 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:428760 CAPLUS

DOCUMENT NUMBER: 137:24314

TITLE: Methods and apparatus for determining and utilizing

the viscosity of circulating blood over a range of

shear rates for diagnostics and treatment

INVENTOR(S): Kensey, Kenneth; Hokanson, Charles

PATENT ASSIGNEE(S): Visco Technologies, Inc., USA; Rheologics, Inc.

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

PA	TENT	NO.			KIN:		DATE			APPL	ICAT					ATE	
	2002 2002				A2 A3		2002 2003			WO 2	001-						127 <
	₩:	CO, GM, LS, PT,	CR, HR, LT, RO,	CU, HU,	CZ, ID, LV, SD,	DE, IL, MA,	DK, IN, MD,	AZ, DM, IS, MG, SI,	DZ, JP, MK,	EC, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PH,	GH, LR, PL,
	R₩:	GH,	GM, MD, IT,	KE, RU, LU,	LS, TJ, MC,	MW, TM, NL,	AT, PT,	SD, BE, SE, TD,	CH, TR,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,
	2301 9910				A1 A2		1999	0304			998- 998-						826 < 826 <
We	W:	AL, DK, KP, NO,	EE, KR, NZ,	ES, KZ,	AU, FI, LC, PT,	AZ, GB, LK, RO,	BA, GE, LR, RU,	BB, GH, LS, SD,	BG, GM, LT,	BR, HR, LU,	BY, HU, LV,	CA, ID, MD,	CH, IL, MG,	IS, MK,	CU, JP, MN,	CZ, KE, MW,	DE, KG, MX,
	RW:	GH, TJ, MC,	GM,	KE, AT, PT,	LS, BE,	MW, CH,	SD, CY,	SZ, DE, CF,	DK,	ES,	ΓI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,
	2001	0002	01	10	A2		2001			HU 2	001-	201			1	9980	826 <
NZ JP NO US US	2001 5029 2001 2000 2002 2003 2002	05 5143 0009 0061 0078 0269	84 44 835 517 86		A3 A T A A1 A1		2004 2001 2001 2000 2002 2003 2002	0831 0911 0225 0523 0424		JP 2 NO 2 US 2 US 2 AU 2	998- 000- 000- 001- 001- 002- 997-	5079 944 8287 8397 2698	94 61 85 6		1 2 2 2 2	9980 0000 0010 0010	127 <
							1 6-			US 2 US 2 US 2 US 2 US 1 WO 1 US 1 US 2 US 2	000- 001- 001- 997- 998- 999- 000- 001-	7279 8199 8287 8397 9199 US17 4397 5018 6284 US44	50 24 61 85 06 657 95 56 01 352		A 2 A 2 A 2 A 1 W 1 A2 1 A2 2 W 2	0001 0010 0010 0010 9970 9980 9991 0000 0001	201 328 409 420 828 826 112 210

AB Various methods are provided for determining and utilizing the viscosity of the

circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

IT 147511-69-1, Pitavastatin

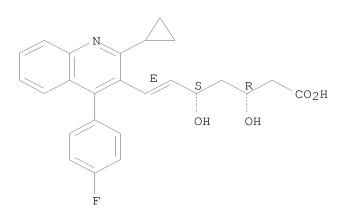
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (methods and apparatus for determining and utilizing the viscosity of circulating

blood over a range of shear rates for diagnostics and treatment)

147511-69-1 CAPLUS RN

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 29 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:682421 CAPLUS

DOCUMENT NUMBER: 138:280954

HMG-CoA reductase inhibitor decreases small dense TITLE:

low-density lipoprotein and remnant-like particle

cholesterol in patients with type-2 diabetes

Sone, Hirohito; Takahashi, Akimitsu; Shimano, Hitoshi; AUTHOR(S):

Ishibashi, Shun; Yoshino, Gen; Morisaki, Nobuhiro; Saito, Yasushi; Kawazu, Shoji; Teramoto, Tamio; Fujita, Toshiro; Shiba, Teruo; Iwamoto, Yasuhiko; Kuzuya, Nobuaki; Akanuma, Yasuo; Yamada, Nobuhiro

CORPORATE SOURCE: Institute of Clinical Medicine, Department of Internal

Medicine (Endocrinology/Metabolism), University of

Tsukuba, Tsukuba, Ibaraki, 305-8575, Japan Life Sciences (2002), 71(20), 2403-2412 CODEN: LIFSAK; ISSN: 0024-3205

PUBLISHER: Elsevier Science Inc.

DOCUMENT TYPE: Journal LANGUAGE: English

SOURCE:

Patients with type 2 diabetes are known to have abnormalities in their remnant metabolism and low d. lipoprotein (LDL) subfraction pattern, with a preponderance of small dense LDL. The effects of pitavastatin, a newly synthesized 3-hydroxy-3-methylglutaryl-CoA (HMG-CoA) reductase inhibitor, on lipoprotein profiles in patients with type 2 diabetes were determined Thirty-three patients were treated with pitavastatin with a daily dose of 2 mg for 8 wk. After treatment, triglyceride, total and LDL cholesterol were significantly reduced by $28.7 \pm 36.7\%$, $25.2 \pm 36.7\%$ 14.3% and 36.1 \pm 14.3%, resp. Remnant-like particle cholesterol (RLP-C), an independent risk factor for CAD which is known to be elevated in diabetic patients, was also significantly reduced $(-30.9 \pm 30.5\%)$ by the treatment and this decrease correlated well with the decrease in triglyceride level. The proportion of small dense LDL, which is known for its atherogenisity, decreased from 29.9 \pm 26.2% to 19.7 \pm 22.7% and the mean LDL particle size significantly increased from 26.36 ± 1.13 nm to 27.10 ± 1.36 nm. Pitavastatin, which is known to improve triglyceride levels and cholesterol levels, also improves RLP-C level and LDL subfraction profiles, and this in turn may reduce the cardiovascular risk in patients with type 2 diabetes and dyslipidemia.

ΙT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitor decreases small dense LDL and remnant-like

particle cholesterol in patients with type-2 diabetes)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 30 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:240538 CAPLUS

DOCUMENT NUMBER: 136:268166

TITLE: Spray drying process for preparation of fenofibrate

compositions

INVENTOR(S): Pace, Gary; Mishra, Awadhesh K.; Snow, Robert A.;

Parikh, Indu; Guivarc'h, Pol-Henri

PATENT ASSIGNEE(S): RTP Pharma Inc., USA

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PAT	CENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		DZ	ATE	
WO	2002	0241	69		A1		2002	0328	,	WO 2	001-	US12	746		20	0010	420 <
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							IS,										
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,
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		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
CA	2423	335			A1		2002	0328	1	CA 2	001-	2423	335		20	0010	420 <
ΑU	2001	0629	45		A		2002	0402		AU 2	001-	6294	5		20	0010	420 <
	2002									US 2	001-	8385	93		20	0010	420 <
US	6696	084			В2		2004	0224									
CA	2440	355			A1		2002	0906	1	CA 2	001-	2440	355		20	0010	420 <
WO	2002	0679	01		A1		2002	0906	,	WO 2	001-	US12	747		20	0010	420 <
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		HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,
		YU,	ZA,	ZW													

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                             AU 2001-259099
     AU 2001259099
                                 20020912
                                                                      20010420 <--
                          Α1
     US 20020161032
                                 20021031
                                             US 2001-838583
                                                                      20010420 <--
                           Α1
     US 6534088
                          В2
                                 20030318
                                             EP 2001-937182
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     EP 1361867
                                 20031119
                                             EP 2001-932584
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     EP 1361867
                           В1
                                 20070321
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            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     CN 1505502
                                 20040616
                                             CN 2001-823164
                          Α
                                                                      20010420
     JP 2004523552
                          Т
                                 20040805
                                             JP 2002-567269
                                                                      20010420
     NZ 525306
                          Α
                                 20041126
                                             NZ 2001-525306
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                                             NZ 2001-527408
                          Α
                                 20050429
                                                                      20010420
     AT 357216
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                          Τ
                                 20070415
                                                                      20010420
     AT 367802
                          т
                                 20070815
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                                                                     20010420
     ES 2284646
                          Т3
                                 20071116
                                             ES 2001-932584
                                                                     20010420
     US 20040086571
                          Α1
                                 20040506
                                             US 2003-388597
                                                                     20030317
     HK 1061357
                          Α1
                                 20071102
                                             HK 2004-102918
     AU 2007201953
                          Α1
                                 20070524
                                             AU 2007-201953
                                                                     20070501
                                             US 2000-234186P
                                                                  P 20000920
PRIORITY APPLN. INFO.:
                                                                  P 20001020
                                              US 2000-241761P
                                              US 2001-270157P
                                                                  Ρ
                                                                     20010222
                                              AU 2001-55515
                                                                  T0 20010420
                                              US 2001-838583
                                                                  A3 20010420
                                              WO 2001-US12746
                                                                  W 20010420
                                              WO 2001-US12747
                                                                  W 20010420
AΒ
     The present invention relates to a novel spray drying process for the
     preparation of pharmaceutical compns. containing small particles of
     phospholipid-stabilized fenofibrate. This invention also relates to spray
     dried powdered compns. prepared according to this process and to dosage
     of fenofibrate (capsules, tablets, powders, granules, and dispersions)
     prepared from these powdered compns. The powdered compns. and dosage forms
are
     useful in the treatment of dyslipidemia and dyslipoproteinemia and have
     the advantage that they provide reduced in vivo variability in the
     bioavailability of fenofibrate active species among fed and fasted
     patients when administered orally. An admixt. of 3% Lipoid E80 as the
     surfactant and 10% fenofibrate is homogeneously dispersed in pH 8.0\ 10\ \text{mM}
     aqueous phosphate buffer by using a high-shear mixer for 30 min. Mannitol
     (10%) is then added and the admixt. is heated to 95° during
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homogenate
is then spray dried to produce a dried powder containing Lipoid
E80-stabilized

microparticles of fenofibrate in mannitol.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (spray drying for preparation of fenofibrate compns.)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

continuous high shear mixing. The heated suspension is then homogenized for 10 batch volume cycles or passes by using a microfluidizer to form a heated homogenate containing the drug. After 10 passes, the heated

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 31 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

2002:171683 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 136:205466

TITLE: Medicinal compositions containing HMG-CoA reductase

inhibitors and angiotensin II receptor antagonists for

preventing or treating heart failure

INVENTOR(S): Lee, Tsung Ming; Lee, Bai-Ching; Su, Shen-Fang; Hsiao,

Chia-Ling; Chu, Chia-Wei

Sankyo Company, Ltd., Japan PCT Int. Appl., 35 pp. PATENT ASSIGNEE(S):

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT I	NO.			KINI)	DATE		•	APPI	LICAT	ION :	NO.		DZ	ATE		
	WO	2002	0179	13		A1	_	2002	0307		WO 2	2001-	JP74.	37		20	0010	 829 <	-
		W:	•	•				CZ,	HU,	ID,	IL,	IN,	KR,	MX,	NO,	NZ,	PH,	PL,	
		RW.	•	•	•	US, CY.		DK.	ES.	FT.	FR.	GB,	GR.	TE.	тт.	T.II.	MC -	NT.	
		1477.		SE,		01,	22,	Dit	,	,		02,	OI()	,	,	шо,	110,	112,	
	ΑU	2001	0844	13		A5		2002	0313		AU 2	2001-	8441	3		20	0010	329 <	-
	JΡ	2002	1457	70		A		2002	0522		JP 2	2001-	2593	99		20	0010	329 <	-
	CA	2420	844			A1		2003	0228		CA 2	2001-	2420	844		20	0010	329	
	ΕP	1314	425			A1		2003	0528		EP 2	2001-	9633	98		20	0010	329	
		R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	FΙ,	CY,	TR													
	US	2003	0181	500		A1		2003	0925		US 2	2003-	3741	71		20	0030	226	
	US	2005	0059	720		A1		2005	0317		US 2	2004-	9776	45		20	0041	029	
PRIOF	ZTI	APP:	LN.	INFO	. :						JP 2	-0009	2609	49	Ž	A 20	0000	330	
											WO 2	2001-	JP74	37	I	W 20	0010	329	
											US 2	2003-	3741	71	Ž	A3 20	0030	226	

Disclosed are medicinal compns. comprising an HMG-CoA reductase inhibitor AΒ selected from the group consisting of pravastatin, simvastatin, lovastatin, pitavastatin and ZD-4522, and an angiotensin II receptor antagonist optionally together with a calcium channel blocker. The preventive effect of administration of pravastatin 10, losartan 50, and amlodipine 5 mg/day for 6 mo on left ventricle hypertrophy in patients was examined

ΙT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicinal compns. containing HMG-CoA reductase inhibitors and angiotensin

II receptor antagonists for preventing or treating heart failure) 147511-69-1 CAPLUS RN

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 32 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

2003:1007596 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 140:65183

TITLE: Oil-containing, orally administrable pharmaceutical

composition for improved delivery of a therapeutic

agent

INVENTOR(S): Chen, Feng-Jing; Patel, Mahesh V.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 39 pp., Cont.-in-part of U.S.

Pat. Appl. 2002 32,171.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATE	ENT I	. O <i>V</i>			KIN	D	DATE		-	APPL	ICAT	ION :	NO.		D.	ATE		
		0235	 595		A1		2003				003-					0030		
	52679				B1		2001				999-				_	9990		
	5309				В1		2001				999-				_	9990		
US 2	2001	0024	658		A1		2001	0927		US 2	000-	7519	68		2	0001	229	<
US 6	54583	383			В2		2002	1001										
US 2	2002	0032	171		A1		2002	0314		US 2	001-	8775	41		2	0010	608	<
US 6	57619	903			В2		2004	0713										
WO 2	2004	0870	52		A2		2004	1014	,	WO 2	004-	US91	20		2	0040	325	
WO 2	2004	0870	52		А3		2004	1118										
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN.	CO,	CR.	CU,	CZ,	DE,	DK,	DM.	DZ,	EC,	EE,	EG.	ES,	FI,	GB,	GD,	
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
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		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
		TD,	TG															
DRITY	APP:	LN.	INFO	. :					US 1	999-	3456	15		A2 1	9990	630		
										US 1	999-	3756	36		A2 1	9990	817	

PRIO

US 2000-751968 A2 20001229

US 2001-877541 A2 20010608 WO 2000-US18807 A 20000710 US 2003-397969 A 20030325

AB The present invention relates to oral pharmaceutical compns. and methods for improved delivery of therapeutic agents, e.g., lipid-regulating agents. Compns. of the present invention include a carrier, where the carrier contains a combination of a triglyceride and at least two surfactants, at least one of which is hydrophilic. Upon dilution with an aqueous

 $\ensuremath{\mathsf{medium}}$, the composition forms a clear, aqueous dispersion. The invention also

pertains to methods for treating lipid disorders such as hypercholesterolemia, hypertriglyceridemia, and mixed dyslipidemia by oral administration of the compns. provided.

IT 147511-69-1, Pitavastatin

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

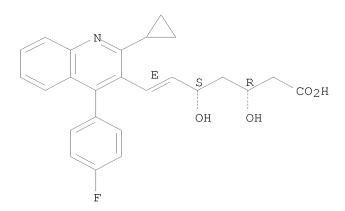
(oral composition containing trigly ceride and surfactants for improved delivery $% \left(1\right) =\left(1\right) +\left(1\right) +\left$

of hydrophobic drugs)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 33 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:120064 CAPLUS

DOCUMENT NUMBER: 139:223407

TITLE: Preclinical pharmacokinetics of statins

AUTHOR(S): Reinoso, R. F.; Navarro, Sanchez A.; Garcia, M. J.;

Prous, J. R.

CORPORATE SOURCE: Prous Science, Barcelona, Spain

SOURCE: Methods and Findings in Experimental and Clinical

Pharmacology (2002), 24(9), 593-613

CODEN: MFEPDX; ISSN: 0379-0355

PUBLISHER: Prous Science

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. This review summarizes the pharmacokinetic properties of HMG-CoA reductase inhibitors (or statins) reported in animals. Lovastatin and simvastatin are administered as lactone prodrugs in contrast to other statins, which are generally formulated in the pharmacol. active hydroxy acid form. Pharmacokinetics vary with the statin and animal species considered. Oral absorption is rapid and the bioavailability low due to an extensive first-pass metabolism Pitavastatin is the exception, with high bioavailability in all species except monkeys (80% vs. 18%).

Plasma protein binding is high for all statins (> 95%) except pravastatin (60%). Regardless of the dosing schedule (single or multiple), animal species and statin, the highest tissue levels are found in the liver-the target organ. Elimination is rapid with metabolism being the main elimination

route for all statins, except for pitavastatin, which is only slightly metabolized, and pravastatin, which aside from metabolism is also eliminated by renal excretion. Statins undergo enterohepatic circulation and are recovered mainly in feces via bile, the extent of which is species-dependent. Metabolism varies with the statin and animal species, particularly the β -oxidation of the dihydroxy heptanoic side chain that occurs primarily in rodents.

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preclin. pharmacokinetics of statins)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 34 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:562226 CAPLUS

DOCUMENT NUMBER: 138:100247

TITLE: Future prospects of new statins

AUTHOR(S): Bujo, Hideaki

CORPORATE SOURCE: Graduate School of Medicine, Chiba University, Japan

SOURCE: Chiryogaku (2002), 36(5), 502-505 CODEN: CHRYDT; ISSN: 0386-8109

PUBLISHER: Raifu Saiensu Shuppan K.K. DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

AB A review. Future prospects of new hypolipemic agents statins such as pravastatin, pitavastatin, and rosuvastatin etc. as

NADPH-hydroxymethylglutaryl-CoA reductase inhibitors are reviewed.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(future prospects of new statins)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.

L10 ANSWER 35 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:428761 CAPLUS

DOCUMENT NUMBER: 137:11000

TITLE: Pharmaceutical compositions containing angiotensin

receptor blockers for treating sexual dysfunction

INVENTOR(S):
Sahota, Pritam Singh

PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.; Novartis Pharma. GmbH

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

Ι	PAI	ENT 1	NO.			KIN	D	DATE		1	APPL	ICAT	ION 1	. O <i>l</i> .		D	ATE		
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		RW:	•					•	RU, LU,				•	•	CY,	DE,	DK,	ES,	
(CA	2430	•						0606							2	0011	129	<
Ī	ΑU	2002	0263	65		A5		2002	0611		AU 2	002-	2636.	5		2	0011	129	<
I	EΡ	1353	727			A2		2003	1022		EP 2	001-	9956	80		2	0011	129	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
			ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
Ċ	JP	2004	5147	03		T		2004	0520		JP 2	002-	5457	76		2	0011	129	
Ţ	US	2002	0107	236		A1		2002	8080	1	US 2	001-	8445			2	0011	203	<
Ţ	US 20040087484							2004	0506	1	US 2	003-	4331	39		2	0030	624	
PRIOR	ΙΤΊ	APP:	LN.	INFO	.:								2505 EP13				0001 0011		

AB The present invention relates to methods of treating sexual dysfunction associated with hypertension and another condition by administering a pharmaceutical combination of an angiotensin receptor blocker with either an anti-hypertensive drug or an HMG-CoA reductase inhibitor. A film-coated tablet contained valsartan 8.00, microcryst. cellulose 54.00, crospovidone 20.00, colloidal silica 1.50, magnesium stearate 4.5, and Diolack pale red 00F34899 7.00 mg.

IT 147511-69-1, PITaVASTATIN

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. containing angiotensin receptor blockers for

treating sexual dysfunction)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 36 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

2002:184896 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 136:236854

TITLE: Medicinal compositions for administration of

N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-yl)-

2,2-dimethylpropanamide and HMG-CoA reductase

inhibitors

Kohama, Takafumi; Inaba, Toshimori INVENTOR(S):

PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION: DATENIE NO

PATENT NO.	KIND DATE	APPLICATION NO.	DATE
	CN, CO, CZ, HU,	WO 2001-JP7438 ID, IL, IN, KR, MX, NO	
RW: AT, BE, CH, PT, SE, TR	CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT	
AU 2001082541	A 20020322	AU 2001-82541	20010829 <
CA 2420951	A1 20030228	CA 2001-2420951	20010829
EP 1314423	A1 20030528	EP 2001-961177	20010829
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL	, SE, MC, PT,
IE, FI, CY,	TR		
HU 2003001728	A2 20030828	HU 2003-1728	20010829
HU 2003001728	A3 20040528		
NZ 524406	A 20040625	NZ 2001-524406	20010829
BR 2001013523	A 20040629	BR 2001-13523	20010829
RU 2246302	C2 20050220	RU 2003-105835	20010829
US 20020055533	A1 20020509	US 2001-943712	20010831 <
JP 2002145774	A 20020522	JP 2001-262600	20010831 <
IN 2003KN00186	A 20050311	IN 2003-KN186	20030213
ZA 2003001543	A 20040609	ZA 2003-1543	20030225
NO 2003000946	A 20030408	NO 2003-946	20030228
MX 2003PA01857	A 20030604	MX 2003-PA1857	20030228
US 20040092571	A1 20040513	US 2003-702930	20031105
RIORITY APPLN. INFO.:		JP 2000-265082	A 20000901

US 2000-230601P P 20000906 WO 2001-JP7438 W 20010829 US 2001-943712 B1 20010831

AΒ Disclosed are medicinal compns. for administering N-(1-octyl-5-ord) $\verb|carboxymethyl-4,6-dimethylindolin-7-yl)-2,2-dimethylpropanamide| or its$ pharmacol. acceptable salt and an HMG-CoA reductase inhibitor either at the same time or sep. after a definite period of time. Blood lipid-lowering effect of oral administration of N-(1-octyl-5-carboxymethyl-4,6-dimethylindolin-7-y1)-2,2-dimethylpropanamide sulfate (I) 30 andpravastatin 3 mg/kg in hamsters was examined Also, tablet containing I 30, sodium pravastatin 10, lactose 408, corn starch 50, and magnesium stearate 2 mg was formulated.

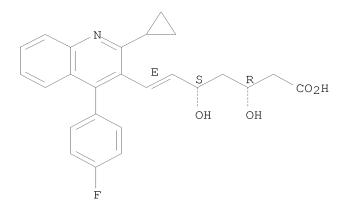
ΙT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (medicinal compns. for administration of N-(1-octyl-5-carboxymethyl-4,6dimethylindolin-7-y1)-2,2-dimethylpropanamide and HMG-CoA reductase inhibitors)

RN 147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 17 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 37 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:15391 CAPLUS

DOCUMENT NUMBER: 136:335067

TITLE: Fibrate and Statin Synergistically Increase the

Transcriptional Activities of $PPAR\alpha/RXR\alpha$

and Decrease the Transactivation of $\text{NF}\kappa\text{B}$

AUTHOR(S): Inoue, Ikuo; Itoh, Fumiaki; Aoyagi, Shigemi; Tazawa,

Shigeki; Kusama, Hiroshi; Akahane, Masuo; Mastunaga, Toshiyuki; Hayashi, Kenji; Awata, Takuya; Komoda, Tugikazu; Katayama, Sigehiro

Fourth Department of Internal Medicine, Saitama CORPORATE SOURCE:

Medical School, Moroyama, Iruma-gun, Saitama,

350-0495, Japan

SOURCE: Biochemical and Biophysical Research Communications (

2002), 290(1), 131-139

CODEN: BBRCA9; ISSN: 0006-291X

PUBLISHER: Academic Press

DOCUMENT TYPE: Journal LANGUAGE: English

In this study, we used a coactivator-dependent receptor-ligand interaction assay (CARLA), which is a semifunctional in vitro assay, to determine whether

hypolipidemic drugs are ligands for the three peroxisome

proliferator-activated receptor isotypes (PPARlpha, δ , and $\gamma)$. We also evaluated the transcriptional activities of the three PPAR isotypes by transient transfection assays. We found that bezafibrate was a ligand for PPARlpha, δ , and γ in the CARLA and that bezafibrate induced transcriptional activation of PPARa/RXRa, PPAR $\delta/\text{RXR}\alpha$, and PPAR $\gamma/\text{RXR}\alpha$. Although the 3-hydroxy-3-methylglutaryl CoA (HMG-CoA) reductase inhibitors cerivastatin, fluvastatin, and pitavastatin were not ligands for these three nuclear receptors in the CARLA, they induced transcriptional activation of PPAR α /RXR α , PPAR δ /RXR α , and PPAR γ 2/RXR α . Moreover, cerivastatin, fluvastatin, and pitavastatin synergistically and dose-dependently increased the transcriptional activation of PPARlpha/RXRlpha induced by bezafibrate. In addition, the cerivastatin-induced transcriptional activation of PPAR $lpha/{\rm RXR}lpha$ was decreased by addition of mevalonate, farnesol, geranylgeraniol, or cholesterol and by co-transfection with sterol regulatory element-binding protein-1 (SREBP-1). Moreover, concomitant administration of statins and fibrates also decreased the transactivation of nuclear factor κB (NF $\kappa \text{B})$ and the activation of NF κ B by mitogen-activated protein kinase kinase (MEKK) also decreased the transactivation of PPAR $\alpha/RXR\alpha$. (c) 2002 Academic Press.

IT 147511-69-1, Pitavastatin

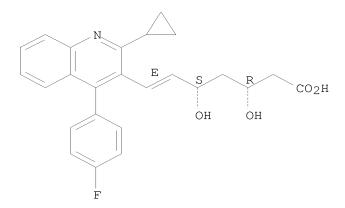
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fibrate and statin synergistically increase the transcriptional activities of PPAR α /RXR α and decrease the transactivation of NF κ B)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 38 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:626303 CAPLUS

DOCUMENT NUMBER: 136:318597

TITLE: Statin and smooth muscle cell function

AUTHOR(S): Kitahara, Masaki

CORPORATE SOURCE: Pharmaceutical Research Department, Biological

Research Lab., Nissan Chemical Industries, Ltd.,

349-0294, Japan

SOURCE: Cell (Tokyo, Japan) (2001), 33(9), 348-351

CODEN: SAIBD8; ISSN: 0386-4766

PUBLISHER: Nyu Saiensusha

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

AB A review, discussing the pharmacol. of statin derivs. (e.g. pitavastatin) as HMG-CoA reductase inhibitors on vascular smooth muscle function for treatment of cardiovascular diseases.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. of statin derivs. and vascular smooth muscle cell function)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 39 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:597839 CAPLUS

DOCUMENT NUMBER: 135:185458

TITLE: TNF- α inhibitors containing combination of

insulin resistance-ameliorating agents with ${\tt HMG-CoA}$

reductase inhibitors

INVENTOR(S): Sugiyama, Yasuo; Odaka, Hiroyuki; Naruo, Ken-ichi

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

	CENT				KIN:	D	DATE				ICAT	-			D.	ATE	
	2001				A1	_	2001	0816	,						2	0010	208 <
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		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,
		HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	LU,
		LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,
		SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	YU,
		ZA,	ZW,	AM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM					
	RW:	GH,	GM,	ΚE,	LS,	MW,	MΖ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
CA	2399	463			A1		2001	0816	i	CA 2	001-	2399	463		2	0010	208 <
AU	2001	0322	44		Α5		2001	0820		AU 2	001-	3224	4		2	0010	208 <
ΕP	1254	667			A1		2002	1106		EP 2	001-	9043	44		2	0010	208 <
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
JP	2001	2945	37		A		2001	1023		JP 2	001-	3380	4		2	0010	209 <
US	2003	0060	488 A1 200303					0327		US 2	002-	2033	0 0		2	0020	809

OTHER SOURCE(S): MARPAT 135:185458

AB Disclosed is a TNF- α inhibitor comprising a combination of an insulin resistance-ameliorating agent with an HMG-CoA reductase inhibitor which is useful as a preventive or a remedy for inflammatory diseases, etc. A tablet containing pioglitazone hydrochloride 15 mg and a tablet containing

sodium pravastatin 5 mg were applied to a patient with inflammatory disease to examine the serum $\text{TNF-}\alpha$ contents.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (TNF- α inhibitors containing combination of insulin resistance-ameliorating agents with HMG-CoA reductase inhibitors)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 40 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:283949 CAPLUS

DOCUMENT NUMBER: 134:311218

TITLE: Synthesis and use of heterocyclic sodium/proton

exchange inhibitors

INVENTOR(S): Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,

Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.		KIND	D.	ATE	_	APPL	ICAT	ION 1	мо.		Di	ATE		
WO 200102710 WO 200102710		A2 A3	_	001041	-	WO 2	000-1	US27	461		2	0001	002 <-	-
CR, HU, LU, SD,	AG, AL, CU, CZ, ID, IL, LV, MA, SE, SG, ZA, ZW	DE, IN, MD,	DK, I	DM, DZ JP, KE MK, MN	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, PL,	GH, LR, PT,	GM, LS, RO,	HR, LT, RU,	
RW: GH,	•	LS,	MW,	MZ, SE	, SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,	

	D										LU,				SE,	BF,	ВJ,	
		•	CG,	CI,	CM,		•				ΝE,	•		ΤG				
US	688787	0			В1		2005	0503	Ţ	JS 2	-0000	6692	98		2	00009	925	
CA	238881	3			A1		2001	0419	(CA 2	-0002	2388	813		2	00010	002	<
EP	122418	3			A2		2002	0724	I	EP 2	-0002	9687	23		2	00010	002	<
EP	122418	3			В1		2005	1228										
	R: A	Τ, Ε	ЗЕ,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
	I	Ε, S	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL								
BR	200001	4725	ō		A		2003	0617	1	3R 2	-0009	1472	5		2	00010	002	
HU	200300	0195	ō		A2		2003	0728	I	HU 2	2003-	195			2	00010	002	
HU	200300	0195	ō		A3		2003	0929										
JP	200352	7331	1		Τ		2003	0916		JP 2	2001-	5303	25		2	00010	002	
NZ	517668				A		2004	0924	1	NZ 2	-0005	5176	68		2	00010	002	
AT	314364				T		2006	0115	Ž	AT 2	-0009	9687	23		2	00010	002	
ES	225423	6			Т3		2006	0616	1	ES 2	-0009	9687	23		2	00010	002	
IN	2002MN	0035	5 4		A		2005	0318		IN 2	2002-	MN35	4		2	00203	322	
ZA	200200	2479	9		A		2004	0727	2	ZA 2	2002-	2479			2	00203	327	
MX	2002PA	.0362	26		A		2003	0922	1	MX 2	2002-	PA36:	26		2	00204	410	
ИО	200200	171	7		A		2002	0610	1	10 2	2002-	1717			2	00204	411	<
US	200501	3721	16		A1		2005	0623	Ţ	JS 2	2005-	4699	3		2	00501	131	
US	732670	5			В2		2008	0205										
PRIORITY	Y APPLN	. IN	VFO.	. :					Ţ	JS 1	999-	1587	55P	I	2 19	9991(012	
									Ţ	JS 2	-0005	6692	98	Z	A3 2	00009	925	
									Ţ	v О 2	0000	US27	461	V	v 2	00010	002	
OTHER SO	OURCE (S):			MARE	PAT	134:	3112	18									

OTHER SOURCE(S): MARPAT 134:311218

AΒ Compds. of formula I [wherein; n is 1-5; X is N or CR5, where R5 is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R1 is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)3Si, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R2, R3 and R4 are any of the groups set out for R1 and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R1 is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyldiethylphosphonoacetate. intermediate tert-Bu ester is converted to the corresponding lpha-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β -adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

IT 147511-69-1, Itavastatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors)

147511-69-1 CAPLUS

RN

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 41 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:813924 CAPLUS

DOCUMENT NUMBER: 137:311200

TITLE: Preparation of 2,1-oxazoline and 1,2-pyrazoline-based

inhibitors of dipeptidyl peptidase IV

Sulsky, Richard B.; Robl, Jeffrey A. Bristol-Myers Squibb Company, USA INVENTOR(S): PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA:	TENT				KIN		DATE				ICAT				D.	ATE		
WO	2002						2002	1024							2	0020	405	<
	w:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
							DK,											
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
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		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
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		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	
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US	2002	0183	367		A1		2002	1205		US 2	002-	1072	79		2	0020	326	<
US	6573	287			В2		2003	0603										
CA	2444	465			A1		2002	1024		CA 2	002-	2444	465		2	0020	405	<
AU	2002	2545	57		A1		2002	1028		AU 2	002-	2545	57		2	0020	405	<
	2002																	
EP	1377	288			A1		2004	0107		EP 2	002-	7237	91		2	0020	405	
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
	2004																	
HU	2004	0014	23		A2		2004	1129										
RIORIT	Y APP	LN.	INFO	.:						US 2								
										WO 2	002-1	US10	936	1	W 2	0020	405	
HER SO	DURCE	(S):			MARI	PAT	137:	3112	00									

GΙ

AΒ The invention describes dipeptidyl peptidase IV (DP 4) inhibiting compds. I [n is 0 or 1; X is H or CN; Y is N, NH or O; Z is CH2 when Y is O or NH, with Y-Z forming a single bond, and Z is CH when Y is N, with Y-Z forming a double bond; R1-R4 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, bicycloalkyl, bicycloalkylalkyl, alkylthioalkyl, arylalkylthioalkyl, cycloalkenyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, cycloheteroalkyl or cycloheteroalkylalkyl, which may be substituted; R1 may combine with R3 or R4 to form a ring (CR5R6)2-6 or (CR7R8)3-6, resp., where R5-R8 = H, OH, alkoxy, alkyl, aryl, etc.] and their pharmaceutically-acceptable salts or prodrug esters. A method is also provided for treating diabetes and related diseases, employing a DP 4 inhibitor I, optionally in combination with other therapeutic agents, including an antidiabetic, hypolipidemic, or anti-obesity agent. Thus, coupling of sultam-protected 1,2-pyrazoline-3-carboxamide with (S)-N-(tert-butoxycarbonyl)cyclohexylglycine (HOAt, Et3N, and EDAC in CH2Cl2), followed by sultam cleavage with methanolic ammonia, amide conversion to nitrile using imidazole, and deprotection, afforded II.TFA. ΙT 147511-69-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (lipid modulating agent; preparation of oxazoline and pyrazoline-based inhibitors of dipeptidyl peptidase IV)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:575765 CAPLUS

DOCUMENT NUMBER: 137:140435

TITLE:

Benzopyrancarboxylic acid derivatives with PPAR
agonist activity for the treatment of diabetes and
lipid disorders, and their preparation, pharmaceutical

compositions, and use

INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.;

Boueres, Julia K.; Desai, Ranjit C.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 42 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT				KIN:		DATE			APPL						ATE		
	2002						2002									0011	029	<
US	6713	508			В2		2004	0330										
CA	2427	610			A1		2002	8080		CA 2	001-	2427	610		2	0011	026	<
WO	2002	0604	34		A2		2002	8080		WO 2	001-	US49	501		2	0011	026	<
WO	2002	0604	34		А3		2003	0619										
	W:	ΑE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
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		KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	
		ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	
		GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG									
AU	2002	2482	21		A1		2002	0812		AU 2	002-	2482	21		2	0011	026	<
EP	1347	755			A2		2003	1001		EP 2	001-	9971	02		2	0011	026	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
JP	2004	5179	38		T		2004	0617		JP 2	002-	5606	26		2	0011	026	
PRIORIT	Y APP	LN.	INFO	.:						US 2	000-	2446	98P		P 2	0001	031	
										WO 2	001-	US49	501	,	W 2	0011	026	
OTHER SO	DURCE	(S):			MAR:	PAT	137:	1404	35									

AB A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator

Ι

activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH2, CO; R1 = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R1 forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO2, CH2, (un) substituted NH; n = 1-6; R4 = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R3R4 or R4R5 = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their preparation is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH2O(CH2)3Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alkaline hydrolysis (100%), to give title compound II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a number of specific drugs, is claimed.

ΙT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also containing; preparation of

benzopyrancarboxylic acid

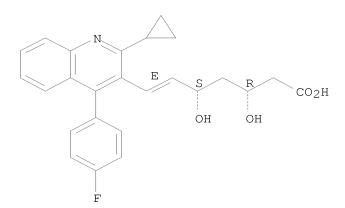
RN

SOURCE:

derivs. as PPAR agonists for treatment of diabetes and lipid disorders) 147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 43 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:504607 CAPLUS

DOCUMENT NUMBER: 137:93594

TITLE: Preparation of cyclobutene derivatives as agents for

use in combination with HMG-CoA reductase inhibitors INVENTOR(S): Kohama, Takafumi; Inaba, Toshimori; Kurata, Hitoshi PATENT ASSIGNEE(S):

Sankyo Company, Limited, Japan

PCT Int. Appl., 674 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

P	ATENT	NO.			KIN	D	DATE	ı		APE	PLICAT	ION	NO.		D.	ATE		
M(0 2002	0513	 96		A1	_	2002	0704		WO	2001-	JP11	 294		2	0011	221	<
	W:	•						HU,	ID,	ΙI	IN,	KR,	MX,	NO,	NZ,	PH,	PL,	
	RW:	•	•		US, CY,			ES,	FI,	FF	R, GB,	GR,	IE,	IT,	LU,	MC,	NL,	
			SE,		·	·	ŕ	·	·			·	·	·	·	·	·	
Al	U 2002	2164	02		A1		2002	0708		ΑU	2002-	2164	02		2	0011	221	<
J1	JP 2002255799						2002	0911		JΡ	2001-	3910	28		2	0011	225	<
PRIORI'	TY APP	. :						JΡ	2000-	3959	48	1	A 2	0001	226			
								WO	2001-	JP11	294	Ţ	W 2	0011	221			
OTHER :	SOURCE	(S):			MAR	PAT	137:	9359	4									

$$R^3$$
 R^4
 $D - E - G - R^1$
 R^2

$$Q^{1} = Z - N$$

$$R^{5} \times X$$

$$R^{6}$$

AB The title compds. I [R1 is cycloalkyl, aryl, etc.; R2 is cycloalkyl, aryl, heterocyclic ring, etc.; R3 and R4 are each hydrogen or the like; A is a group of the general formula Q1 (wherein R5 is hydrogen or the like; R6 is an amine residue or the like; X and Y are each oxygen or the like; and Z is a single bond or the like); G is alkylene or the like; and when the dotted line is a double bond, D is carbon atom and E is :NO, when the dotted line is a single bond, D is CH or the like and E is NH or the like] are prepared A pharmaceutical composition containing HMG-CoA reductase inhibitor and

I is claimed. In hamsters fed feed containing 0.3% cholesterol and 10% coconut oil, the administration of pravastatin at 0.01% (weight/weight) alone

caused 26% increase in high d. lipoprotein cholesterol; the combined administration of pravastatin and a cyclobutene derivative of this invention at 0.01% (weight/weight) caused 41% increase in high d. lipoprotein cholesterol.

A formulation containing pravastatin sodium and a compound of this invention is

given.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(effect of composition containing cyclobutene derivative and ${\tt HMG-CoA}$ reductase

inhibitor)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 44 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:762797 CAPLUS

DOCUMENT NUMBER: 135:308909

TITLE: Pharmaceutical combinations containing AT1-receptor

antagonist

INVENTOR(S): De Gasparo, Marc; Graves, Kurt C.

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

	TENT															ATE 		
WO	2001 2001	0765	73		A2		2001	1018										<
		AE, CO, HR,	AG, CR, HU,	AL, CU, ID,	AM, CZ, IL,	AT, DE, IN,	AU, DK, IS, MG,	AZ, DM, JP,	BA, DZ, KE,	EE, KG,	ES, KP,	FI, KR,	GB, KZ,	GD, LC,	GE, LK,	GH, LR,	GM, LS,	
		VN,	YU,	ZA,	ZW	·	SK,	,		·	·	•				·	·	
	R₩:	KZ, IE,	MD,	RU, LU,	TJ, MC,	TM,	MZ, AT, PT,	BE, SE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	
GW, ML, MR, NE, SN, T CA 2405793 A1 20										CA 2	001-	2405	793		2	0010	410	<
	EP 1326604 A2 20030																	
	R:	AT,	BE,	CH,	DE,		ES,											
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR							
BR	2001	0099	66		A		2003											
	2003															0010	410	
	2004						2004	0628		HU 2	004 -	475			2	0010	410	
	2004						2006											
	1651	087			A		2005				004-					0010		
_	2298	-			-		2007			-	002-					0010		
	2002						2002			NO 2	002-	4921			2	0021	011	<
	2002				А		2003			MX 2	002-	PA10	090		2	0021	011	
	2002				A		2003				002-							
	2004				A1		2004				003-					0030		
	2005						2005			AU 2	005-	2096	57		2	0050		
	2007				A1		2007	0510			006-					0061		
RIORIT	Y APP	LN.	TNF.O	.:							000-							
											001-					0010		
										WO 2	001-	EP41	Т2		w 2	0010	4 ± 0	

AB The invention relates to a combination of at least 2 therapeutic combination components selected from the group consisting of an AT1-receptor antagonist or an AT1 receptor antagonist combined with a diuretic or, in each case, a salt, a HMG-CoA reductase inhibitor or a salt and an ACE inhibitor or a salt for the prevention of, delay of progression of, treatment of selected diseases and conditions. Thus, tablets were prepared by granulation of the mixture of valsartan 80.00, Avicel PH-102

Crospovidone 20.00, Aerosil-200 0.75, and Mg stearate 2.5 mg/unit, and blending this composition with a mixture of Aerosil-200 0.75, Mg stearate 2.00,

and Diolack pale red 00F34899 7.00 mg/unit.

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical combinations containing AT1-receptor antagonist)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 45 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:597795 CAPLUS

DOCUMENT NUMBER: 135:185456

TITLE: Tumor necrosis factor (TNF- α) inhibitors

INVENTOR(S): Sugiyama, Yasuo; Odaka, Hiroyuki; Naruo, Ken-ichi; Funatsu, Masami; Ikeya, Kazuaki; Suzuki, Yoshiharu

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIN	ID DATE	- 	APPLICAT	CION NO.		DATE
WO 2001058443	A1	2001	0816	WO 2001-	JP881		20010208 <
W: AE, AG	, AL, AM,	AT, AU,	AZ, BA	A, BB, BG,	BR, BY,	BZ, CA	, CH, CN,
CR, CU	, CZ, DE,	DK, DM,	DZ, EE	E, ES, FI,	GB, GD,	GE, GH	, GM, HR,
HU, ID	, IL, IN,	IS, JP,	KE, KG	G, KR, KZ,	LC, LK,	LR, LS	, LT, LU,
LV, MA	, MD, MG,	MK, MN,	MW, MX	K, MZ, NO,	NZ, PL,	PT, RO	, RU, SD,
SE, SG	, SI, SK,	SL, TJ,	TM, TR	R, TT, TZ,	UA, UG,	US, UZ	, VN, YU,
ZA, ZW	, AM, AZ,	BY, KG,	KZ, MD	O, RU, TJ,	TM		
RW: GH, GM	, KE, LS,	MW, MZ,	SD, SL	L, SZ, TZ,	UG, ZW,	AT, BE	, CH, CY,
DE, DK	, ES, FI,	FR, GB,	GR, IE	E, IT, LU,	MC, NL,	PT, SE	, TR, BF,
BJ, CF	, CG, CI,	CM, GA,	GN, GW	√, ML, MR,	NE, SN,	TD, TG	

CA 2399396 20010816 CA 2001-2399396 20010208 <--Α1 AU 2001-32245 AU 2001032245 Α5 20010820 20010208 <--20030115 20010208 EP 1275388 Α1 EP 2001-904345 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2001294526 20011023 JP 2001-33761 20010209 <--Α US 20030018040 US 2002-203292 20020808 A 1 20030123 PRIORITY APPLN. INFO.: JP 2000-38266 20000210 Α WO 2001-JP881 W 20010208 TNF-inhibitors containing at least one compound selected from the group AB

AB TNF-inhibitors containing at least one compound selected from the group consisting of cerivastatin, atorvastatin, simvastatin, pravastatin, itavastatin and (+)-(3R,5S)-7-[4-(4-fluorophenyl)-6-isopropyl-2-(N-methyl-N-methanesulfonylamino) pyrimidin-5-yl]-3,5-dihydroxy-6(E)-heptenoic acid and salts thereof which have sufficiently favorable properties as drugs, for example, exhibiting excellent preventive and therapeutic effects on TNF- α -associated diseases such as inflammatory diseases without showing any side effects.

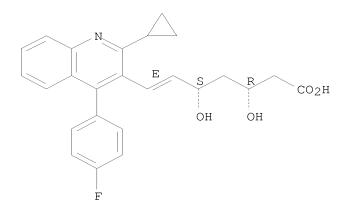
IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (as tumor necrosis factor inhibitor as pharmaceutical)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 46 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:20841 CAPLUS

DOCUMENT NUMBER: 139:190335

TITLE: Management of dyslipidemia in the high-risk patient

AUTHOR(S): Stein, Evan A.

CORPORATE SOURCE: Metabolic and Atherosclerosis Research Center and

Medical Research Laboratories International,

Cincinnati, OH, USA

SOURCE: American Heart Journal (2002), 144(6,

Suppl.), S43-S50

CODEN: AHJOA2; ISSN: 0002-8703

PUBLISHER: Mosby, Inc.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review. Lipid-lowering agents have been shown to reduce morbidity and mortality associated with coronary heart disease (CHD), particularly in high-risk patients. The identification and treatment of these patients should therefore be a high priority for clinicians. Guidelines from medical organizations, such as the National Cholesterol Education Program Adult Treatment Panel (NCEP ATP) and the American Diabetes Association (ADA),

suggest that patients with low-d. lipoprotein cholesterol (LDL-C) levels \geq 130 mg/dL, and perhaps even those with levels \geq 100 mg/dL, should receive drug therapy. Optimal LDL-C levels have been set at <100mg/dL and <115 mg/dL for high-risk patients by US and European guidelines, resp. However, a recent survey shows that only about 20% of high-risk patients currently meet these goals. In order to achieve therapeutic targets for LDL-C, the statins are the foundation of treatment, as they are the most effective and best-tolerated form of lipid-lowering therapy. Other therapeutic options include bile acid sequestrants, niacin, and plant stanols, although seldom as monotherapy. Combination therapy with a statin and one of these other lipid-lowering agents can be useful in patients who are unable to achieve target lipid levels through monotherapy. There remains, however, a need for addnl. agents. the new options for reducing LDL-C levels that may be available in the near future include 2 new statins, pitavastatin and rosuvastatin. In patients with heterozygous familial hypercholesterolemia, rosuvastatin, which is currently under review by the Food and Drug Administration (FDA), has been shown to produce significantly greater redns. in LDL-C than atorvastatin over its full dose range. In comparative clin. trials, it has also enabled more patients with primary hypercholesterolemia to meet lipid goals than atorvastatin, simvastatin, and pravastatin. Inhibitors of bile acid transport or cholesterol absorption may also have therapeutic value. The first cholesterol absorption inhibitor, ezetimibe, which has just been approved by the FDA, appears to be most effective when combined with a statin. It is anticipated that such new options will allow clinicians to optimize the management of dyslipidemia in high-risk patients, thereby reducing the morbidity and mortality of CHD.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(management of dyslipidemia in high-risk patient)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 47 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:943329 CAPLUS

DOCUMENT NUMBER: 139:94494

TITLE: Mechanism of HMG-CoA reductase inhibitors

CORPORATE SOURCE:

AUTHOR(S): Morikawa, Shigeru; Hamakubo, Takao; Kodama, Tatsuhiko

Department of Molecular Biology and Medicine, Research Center for Advanced Science and Technology, University

of Tokyo, Tokyo, 153-8904, Japan

SOURCE: Naibunpi, Tonyobyoka (2002), 15(2), 168-176

CODEN: NATOFF; ISSN: 1341-3724

PUBLISHER: Kagaku Hyoronsha

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Japanese

 ${\tt AB}$ ${\tt A}$ review. Mechanism of HMG-CoA reductase inhibitors is reviewed including

cholesterol synthesis, the inhibitory effects of statin (

pitavastatin) on HMG-CoA reductase at cholesterol synthesis as

well as the structure and pathway of sterol regulatory element binding

protein (SREBP) and its regulatory mechanism.

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(mechanism of HMG-CoA reductase inhibitors)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 48 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:927184 CAPLUS

DOCUMENT NUMBER: 138:14048

TITLE: Preparation of oxazolylethoxyphenylprolines and

related compounds as antidiabetic and antiobesity

agents

INVENTOR(S): Cheng, Peter T.; Jeon, Yoon; Wang, Wei

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT				KIN	D	DATE		-	APPL	ICAT	ION :	NO.		D	ATE	
WO 2002 WO 2002		57		A2 A3		2002 2003			WO 2	002-	US16	628		2	0020	523 <
w:	ΑE,	AG,	AL,	ΑM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	OM,	PH,
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	UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW							
RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,
	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,

OTHER SOURCE(S): MARPAT 138:14048

$$R^{2}$$
?
 R^{2} ?
 R^{2} ?
 R^{2} ?
 R^{2}
 R^{2}

AΒ Title compds. [I; m, n = 0-2; Q = C, N; A = (CH2) \times 1, (CH2) \times 1, with an alkenyl or alkynyl bond in the chain, (CH2)x20(CH2)x3; x = 1-5; x1 = 2-5; x2, x3 = 0-5; provided that ≥ 1 of x2 and $x3 \neq 0$; x1 = CH, x2; X2 = C, N, O, S; X3 = C, N; X4 = C, N, O, S provided that ≥ 1 of X2, X3, X4 = N; in each of X1-X4, C may include CH; R1 = H, alkyl; R2 = H, alkyl, alkoxy, halo, (substituted) amino; R2a, R2b R2c = H, alkyl, alkoxy, halo, (substituted) amino; R3 = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyloxycarbonyl, alkenyloxycarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroarylheteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroaryloxycarbonylamino, heteroarylheteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryloxycarbonyl, cycloheteroalkyloxycarbonyl, aryloxyheteroarylalkyl, heteroarylalkyloxyarylalkyl, arylarylalkyl, arylalkenylarylalkyl, arylaminoarylalkyl, etc.; Y = CO2R4, 1-tetrazolyl, P(O)(OR4a)R5, P(O)(OR4a)2; R4 = H, alkyl, prodrug ester; R4a = H, prodrug ester; R5 = alkyl, aryl; Z = (CH2) \times 4, (CH2) \times 5, (CH2) \times 60(CH2) \times 7; \times 4 = 1-5; x5 = 2-5; x6, x7 = 0-4], were prepared as antidiabetic and antiobesity agents (no data). Thus, the title compound (II) was prepared in 6 steps. ΙT 147511-69-1

II

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of oxazolylethoxyphenylprolines and related

compds. as antidiabetic and antiobesity agents)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 49 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:777650 CAPLUS

DOCUMENT NUMBER: 137:299910

TITLE: Therapeutic combinations containing COX-2 inhibitors

for cardiovascular and inflammatory diseases treatment
Soibert Karon: Kollor Bradley T : Isakson Poter C :

INVENTOR(S): Seibert, Karen; Keller, Bradley T.; Isakson, Peter C.;

Krul, Elaine S.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 316 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA.	TENT :	NO.			KIN:	D	DATE			APPL	ICAT	ION 1	NO.		D	ATE		
	2002									WO 2	002-	US93	46		2	0020	328 <-	
	W:	CR, HU, LU, RO,	CU, ID, LV, RU,	CZ, IL, MA, SD,	DE, IN, MD, SE,	DK, IS, MG, SG,	AU, DM, JP, MK, SI,	DZ, KE, MN,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, OM,	GH, LR, PH,	GM, LS, PL,	HR, LT, PT,	
	RW:	GH, KG, GR,	GM, KZ, IE,	KE, MD, IT,	RU, LU,	MW, TJ, MC,	MZ, TM, NL, NE,	AT, PT,	BE, SE,	CH, TR,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	
AU US	2442 2002 2003 1435	328 2559 0199	29 482	ŕ	A1 A1 A1	·	2002 2002	1010 1015 1023		CA 2 AU 2 US 2	002- 002-	2559: 1078:	29 09		2	0020 0020		
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AB The present invention provides therapeutic combinations and methods for treating or preventing a hypercholesterolemia-related or an inflammation-related condition in a subject in need of such treatment or prevention. One therapeutic combination comprises an ASBT inhibitor combined with COX-2 inhibitor. A further therapeutic combination

comprises an ASBT inhibitor, a COX-2 inhibitor and an HMG Co-A reductase inhibitor. Another therapeutic combination comprises a chromene COX-2 inhibitor and an HMG Co-A reductase inhibitor. Thus, a tablet composition contained benzothiepine 5, celecoxib 20, lactose 54, microcryst. cellulose 15, HPMC 3, Croscarmellose sodium 2, and Mg stearate 1 mg/tablet.

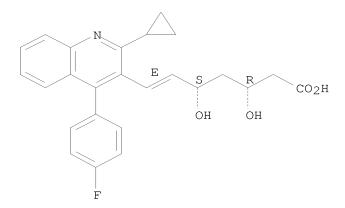
ΙΤ 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic combinations containing COX-2 inhibitors for cardiovascular and inflammatory diseases treatment)

RN 147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 50 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:744783 CAPLUS

DOCUMENT NUMBER: 138:297319

TITLE: The effect of statins on mRNA levels of genes related

to inflammation, coagulation, and vascular

constriction in HUVEC

AUTHOR(S):

Morikawa, Shigeru; Takabe, Wakako; Mataki, Chikage; Kanke, Toru; Itoh, Takahiro; Wada, Youichiro; Izumi, Akashi; Saito, Yasushi; Hamakubo, Takao; Kodama,

Tatsuhiko

CORPORATE SOURCE: Departments of Molecular Biology and Medicine,

Research Center for Advanced Science and Technology,

University of Tokyo, Tokyo, Japan

Journal of Atherosclerosis and Thrombosis (SOURCE:

2002), 9(4), 178-183

CODEN: JATHEH; ISSN: 1340-3478 Japan Atherosclerosis Society

DOCUMENT TYPE: Journal LANGUAGE: English

PUBLISHER:

Large-scale clin. trials have demonstrated significant redns. in cardiovascular events following statin therapy. The observed benefit of statin therapy, however, may be greater in these trials than is to be expected from lowering lipid levels alone. In order to clarify the mechanism by which statins prevent cardiovascular events in vascular wall cells, we investigated the changes in gene expression profiles after incubation with atorvastatin or pitavastatin in cultured human umbilical vein endothelial cells using DNA microarrays. Statins affected the expression levels of genes involved in inflammation, coagulation, and vascular constriction. The mRNA levels for interleukin-8 (IL-8) and monocyte chemoattractant protein-1 (MCP-1) decreased after statin treatment. Statins reduced mRNA levels of plasminogen activator inhibitor-1 (PAI-1) and increased the mRNA levels of thrombomodulin. Statins reduced the mRNA levels of endothelin-1 and increased the mRNA

levels of nitric oxide synthase-3 (eNOS). These results show that, statins are clin. effective because of their ability to change the gene expression profile of endothelial cells thereby preventing vascular events.

IT 147511-69-1, Pitavastatin

RL: DMA (Drug mechanism of action); BIOL (Biological study) (effect of statins on mRNA levels of genes related to inflammation, coagulation, and vascular constriction in HUVEC)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 51 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:637483 CAPLUS

DOCUMENT NUMBER:

137:185311

TITLE:

Preparation of 2-aryloxy-2-arylalkanoic acids for

diabetes and lipid disorders

INVENTOR(S):

Adams, Alan D.; Jones, A. Brian; Berger, Joel P.;

Dropinski, James F.; Elbrecht, Alexander; Liu, Kun; Macnaul, Karen Lamb; Shi, Guo-qiang; Von, Langen Derek

J.; Zhou, Gaochao

PATENT ASSIGNEE(S):

SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 157 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE:

Patent English

1

FAMILY ACC. NUM. COUNT:

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WO 2002		94		A2 A3		2002 2003	0822		WO 2			80		2	0020	205 <	-
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	GH, KG, GR, GN,	GM, KZ, IE,	KE, MD, IT,	LS, RU, LU, ML,	MW, TJ, MC, MR,	TM, NL, NE,	SD, AT, PT, SN,	SL, BE, SE, TD,	CH, TR, TG	CY, BF,	DE, BJ,	DK, CF,	ES,	FI, CI,	FR, CM,	GB, GA,	
CA 243	/118			A1		2002	0822		CA 2	002-	2437	118		2	0020	205 <	-

AU 2002251978	A1	20020828	AU 2002-251978	20020205 <
AU 2002251978	В2	20070719		
EP 1366012	A2	20031203	EP 2002-721022	20020205
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IT, LI, LU,	NL, SE, MC, PT,
IE, SI, LT,	LV, FI	, RO, MK,	CY, AL, TR	
JP 2004521124	T	20040715	JP 2002-563891	20020205
US 20040092596	A1	20040513	US 2003-470954	20030730
US 7091230	B2	20060815		
US 20060122242	A1	20060608	US 2006-334152	20060118
PRIORITY APPLN. INFO.:			US 2001-267809P	P 20010209
			WO 2002-US4680	W 20020205
			US 2003-470954	A3 20030730
OTHER SOURCE(S):	MARPAT	137:18533	11	

OTHER SOURCE(S): MARPAT 137:185

$$R^3$$
 R^5
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 R^2
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 R^4

AΒ Title compds. I [R1 = halo, alkyl, alkoxy; R2 = alkyl, alicyclic; R3 = alkyl, aryl, alicyclic, heterocycle, etc.; R4 = H, OH, alkoxy, aryloxy, halo or R3-4 may be joined together to yield 5- or 6-membered heterocycle; R5 = H, halo; R6 = H, halo, CH3, CF3; Ar1 = Ph, thienyl, thiazolyl, oxazolyl, pyridyl; X = O, S; Z = COOH, tetrazole, carboxamide] were prepared For instance, 2,4-dipropylresorcinol was converted to 2,4-dihydroxy-3,5- $\texttt{dipropyl-}\alpha,\alpha,\alpha-\texttt{trifluoroacetophenone} \text{ (CH2Cl2, TFAA,}$ AlCl3) and subsequently treated with i. hydroxylamine HCl, MeOH, reflux; ii. Ac2O; iii. pyridine, reflux which afforded 5,7-dipropyl-6-hydroxy-3-trifluoromethyl-1,2-benzisoxazole. The benzisoxazole was reacted with Me 2-bromo-2-phenylacetate (DMF, Cs2CO3) and the product saponified to give II. I are potent agonists of the peroxisome proliferator activated receptor and are useful in the treatment of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other $\mbox{PPAR-}\alpha$ and/or $\mbox{PPAR-}\gamma$ mediated diseases.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combination pharmaceutical; preparation of 2-aryloxy-2-arylalkanoic acids

for diabetes and lipid disorders)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 52 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:594636 CAPLUS

DOCUMENT NUMBER: 137:135097

TITLE: Acyl sulfamides for treatment of obesity, diabetes and

lipid disorders

INVENTOR(S): Jones, A. Brian; Acton, John J., III

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA	ATENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE		
	2002									WO 2	002-	 US31	19		2	0020	 125 <-	
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,	
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	PL,	
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	
		UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW									
	RW:	GH,	GM,	KΕ,	LS,	MW,	${ m MZ}$,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	ΙΤ,	LU,	MC,	ΝL,	PT,	SE,	TR,	
								GΑ,										
CZ	4 2434	491			A1		2002	0808		CA 2	002-	2434	491		2	0020	125 <-	
JA	J 2002	2402	35		A1		2002	0812		AU 2	002-	2402	35		2	0020	125 <-	
E	1357	908			A2		2003	1105		EP 2	002-	7061	28		2	0020	125	
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR							
JI	2004	5211	19		${ m T}$		2004	0715		JP 2	002-	5605	84		2	0020	125	
US	2004	0073	037		A1		2004	0415		US 2	003-	4704	83		2	0030	729	
US	6852	738			В2		2005	0208										
PRIORI	CY APP	LN.	INFO	.:						US 2	001-	2649	55P		P 2	0010	130	
										WO 2	002-	US31	19	,	W 2	0020	125	
OMITHD (COLLOC	1/01			1/17/17/17		1 2 7	1250	07									

OTHER SOURCE(S): MARPAT 137:135097

AB A class of acyl sulfamides comprises compds. that are potent ligands for PPAR γ receptors and generally have antagonist or partial agonist activity. The compds. may be useful in the treatment, control or prevention of obesity, non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, vascular restenosis, inflammation, and other PPAR γ receptor-mediated diseases, disorders and conditions, alone or in combination with one or more other compds. Other compds. are selected from insulin sensitizers, insulin or insulin mimetics, sulfonylureas, α -glucosidase inhibitors, cholesterol lowering agents, PPAR δ agonists, antiobesity compds., an ileal bile

acid transporter inhibitor, and agents intended for use in inflammatory conditions such as aspirin, nonsteroidal anti-inflammatory drugs, glucocorticoids, azulfidine, and cyclooxygenase-2 selective inhibitors.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (acyl sulfamides and other drugs for treatment of metabolic disorders mediated by PPAR γ receptors)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 53 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:574926 CAPLUS

DOCUMENT NUMBER: 137:135094

TITLE: The use of substituted azetidinone compounds for the

treatment of sitosterolemia

INVENTOR(S): Davis, Harry R.

PATENT ASSIGNEE(S): Schering Corporation, USA SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

	TENT				KIN:	D	DATE			APPLICATION NO. DATE								
WO	2002 2002	0586	96						,						2	0020	125	<
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		ID,	IL,	IN,	IS,	JP,	KG,	KR,	KΖ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,	
		MG,	MK,	MN,	MX,	MΖ,	NO,	NΖ,	PH,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,	
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	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	ΝL,	PT,	SE,	TR,	
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	2434									CA 2								
	2002								-	AU 2	002-	2435	57		2	0020	125	<
ΑU	2002		-															
	1355									EP 2	002-	7090!	50		2	0020	125	
EΡ	1355						2006											
	R:									GR,		LI,	LU,	ΝL,	SE,	MC,	PT,	
										AL,								
	2002															0020		
HU	2003	0039	29		A2		2004	0301		HU 2	003-	3929			2	0020	125	

CN	1527707	A	20040908	CN	2002-804102		20020125
JP	2004532186	T	20041021	JP	2002-559030		20020125
NZ	526532	A	20051021	NZ	2002 535030		20020125
AT	331512	${ m T}$	20060715	ΑT	2002-709050		20020125
ES	2266459	Т3	20070301	ES	2002-709050		20020125
RU	2317078	C2	20080220	RU	2003-126187		20020125
ZA	2003005691	A	20041223	ZA	2003-5691		20030723
IN	2003CN01144	A	20050422	ΙN	2003-CN1144		20030724
NO	2003003359	A	20030925	ИО	2003-3359		20030725
MX	2003PA06729	A	20031024	MX	2003-PA6729		20030725
HK	1055679	A1	20070427	HK	2003-107963		20031104
AU	2005246926	A1	20060119	ΑU	2005-246926		20051219
JP	2007091763	A	20070412	JΡ	2007-5232		20070112
KR	2007120617	A	20071224	KR	2007-727662		20071127
PRIORITY	APPLN. INFO.:			US	2001-264645P	P	20010126
				ΑU	2002-243557	АЗ	20020125
				JΡ	2002-559030	АЗ	20020125
				WO	2002-US1195	W	20020125
				KR	2003-709673	АЗ	20030722

OTHER SOURCE(S): MARPAT 137:135094

AB The invention discloses the use of sterol absorption-inhibiting compds., pharmaceutical compns. thereof, therapeutic combinations, and their use in combination with other lipid-lowering agents to treat or prevent sitosterolemia and/or to lower the concentration of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or preventing vascular disease and coronary events also are provided. The methodol. and compns. of the invention use substituted azetidinone compds., e.g. I (preparation described).

IT 147511-69-1, Itavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 54 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:540258 CAPLUS

DOCUMENT NUMBER: 137:109267

TITLE: Preparation of benzoxepinopyridines as HMG-CoA

reductase inhibitors

INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-ging

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 875,155. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	AP:	PLICATION NO.		DATE	
	US 20020094977	A1	20020718	US	2001-7407		20011204	<
	US 6627636	B2	20030930					
	US 20020013334	A1	20020131	US	2001-875155		20010606	<
PRIO	RITY APPLN. INFO.:			US	2000-211595P	P	20000615	
				US	2001-875155	A2	20010606	
OTHEI GI	R SOURCE(S):	MARPAT	137:109267					

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [X = 0, S, S0, S02, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, AB $4-hydroxy^2-2-oxopyran-6-yl$, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDl cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, and atherosclerosis (no data). A multistep synthesis of II is reported. ΙΤ 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministered agents; preparation of benzoxepinopyridines as ${\tt HMG-CoA}$ reductase inhibitors for treatment of hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 55 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:487576 CAPLUS

DOCUMENT NUMBER: 137:41758

TITLE: Sugar-substituted 2-azetidinones useful as

hypocholesterolemic agents and in the treatment of

atherosclerosis

Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; INVENTOR(S):

Iannucci, Robert M.; Feng, Wenqing; Alton, Kevin B.;

Patrick, James E.; Davis, Harry R.

Schering Corporation, USA PCT Int. Appl., 33 pp. PATENT ASSIGNEE(S):

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12 PATENT INFORMATION:

PAT	ENT :	мо.			KIN:	D	DATE		,	APPL	ICAT	ION 1	NO.		D	ATE	
WO	2002	0500	90		A1		2002	0627		WO 2	001-	US49	127		2	0011	217 <
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		MG,	MK,	MN,	MX,	MZ,	NO,	NΖ,	PH,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,
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	2002						2002						-				217 <
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EP	1347																
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							RO,										
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EP	1593	670			A1		2005	1109		EP 2	005-	4699			21	0011	217

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EP 1593670
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     RU 2297422
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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PRIORITY APPLN. INFO.:
                                             US 2000-256875P
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                                                                     20001220
                                             EP 2001-991315
                                                                  A3 20011217
                                             WO 2001-US49127
                                                                  W 20011217
                                             EP 2004-19610
                                                                  A3 20040818
                                             HK 2005-106006
                                                                  A3 20050714
                                             AU 2006-202618
                                                                  A3 20060620
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OTHER SOURCE(S): MARPAT 137:41758

AB Hypocholesterolemic sugar-substituted 2-azetidinone compds. are disclosed, as are a method of lowering cholesterol by administering these compds., pharmaceutical compns. containing them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis.

IT 147511-69-1, Itavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 56 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:465809 CAPLUS

DOCUMENT NUMBER: 137:37669

TITLE: Antilipemic agents containing lignan analogs and

HMG-CoA reductase inhibitors

INVENTOR(S): Mizui, Takuji; Hara, Seijiro

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
2002	0476	78		A1	_	2002	0620		WO 2	 001-	 JP10	 660		2	0011	206 <
W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KR,	KΖ,	LC,	LK,	LR,	LS,
	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	PL,
	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	ΤΤ,	TZ,	UA,	UG,
	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW									
RW:	GH,	GM,	KE,	LS,	MW,	${ m MZ}$,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,
CY, DE, DK, ES, FI, FR, GB						GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	
2430	760			A1		2002	0620		CA 2	001-	2430	760		2	0011	206 <
2002	0185	29		А		2002	0624		AU 2	002-	1852	9		2	0011	206 <
1358	879			A1		2003	1105		EP 2	001-	2702	13		2	0011	206
R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	ΝL,	SE,	MC,	PT,
						•			AL,	TR						
2001																
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US 20040048805						2004	0311									
Y APP	LN.	INFO	.:											A 2	0001	213
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	2002 W: RW: 2430 2002 1358 R: 2001 2312 2003 2004 Y APP	W: AE, CO, GM, LT, PT, US, RW: GH, CY, BF, 2430760 20020185 1358879 R: AT, IE, 20010160 231210 2003PA05 20040048 Y APPLN.	2002047678 W: AE, AG, CO, CR, GM, HR, LT, LU, PT, RO, US, UZ, RW: GH, GM, CY, DE, BF, BJ, 2430760 2002018529 1358879 R: AT, BE, IE, SI, 2001016082 231210 2003PA05251 20040048805 Y APPLN. INFO	2002047678 W: AE, AG, AL, CO, CR, CU, GM, HR, HU, LT, LU, LV, PT, RO, RU, US, UZ, VN, RW: GH, GM, KE, CY, DE, DK, BF, BJ, CF, 2430760 2002018529 1358879 R: AT, BE, CH, IE, SI, LT, 2001016082 231210 2003PA05251 20040048805 Y APPLN. INFO.:	2002047678 A1 W: AE, AG, AL, AM, CO, CR, CU, CZ, GM, HR, HU, ID, LT, LU, LV, MA, PT, RO, RU, SD, US, UZ, VN, YU, RW: GH, GM, KE, LS, CY, DE, DK, ES, BF, BJ, CF, CG, 2430760 A1 2002018529 A 1358879 A1 R: AT, BE, CH, DE, IE, SI, LT, LV, 2001016082 A 231210 B 2003PA05251 A 20040048805 A1 Y APPLN. 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INFO.:	2002047678 A1 20020620 W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CU, CZ, DE, DK, DM, GM, HR, HU, ID, IL, IN, IS, LT, LU, LV, MA, MD, MG, MK, PT, RO, RU, SD, SE, SG, SI, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, CY, DE, DK, ES, FI, FR, GB, BF, BJ, CF, CG, CI, CM, GA, 2430760 A1 20020620 2002018529 A 20020624 1358879 A1 20031105 R: AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK, 2001016082 A 20031223 231210 B 20050421 2003PA05251 A 20030925 20040048805 A1 20040311 Y APPLN. INFO.:	2002047678 A1 20020620 W: AE, AG, AL, AM, AT, AU, AZ, BA, CO, CR, CU, CZ, DE, DK, DM, DZ, GM, HR, HU, ID, IL, IN, IS, JP, LT, LU, LV, MA, MD, MG, MK, MN, PT, RO, RU, SD, SE, SG, SI, SK, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, CY, DE, DK, ES, FI, FR, GB, GR, BF, BJ, CF, CG, CI, CM, GA, GN, 2430760 A1 20020620 2002018529 A 20020624 1358879 A1 20031105 R: AT, BE, CH, DE, DK, ES, FR, GB, IE, SI, LT, LV, FI, RO, MK, CY, 2001016082 A 20031223 231210 B 20050421 2003PA05251 A 20030925 20040048805 A1 20040311 Y APPLN. INFO.:	2002047678 A1 20020620 WO 2 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB,	2002047678 A1 20020620 WO 2001- W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, 2430760 A1 20020620 CA 2001- 2002018529 A 20020624 AU 2002- 1358879 A1 20031105 EP 2001- R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 2001016082 A 20031223 BR 2001- 231210 B 20050421 TW 2001- 2003PA05251 A 20030925 MX 2003- 20040048805 A1 20040311 US 2003- Y APPLN. INFO.: UC 2001- WO 2001- WO 2001-	2002047678 A1 20020620 W0 2001-JP10 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, 2430760 A1 20020620 CA 2001-2430 2002018529 A 20020624 AU 2002-1852 1358879 A1 20031105 EP 2001-2702 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 2001016082 A 20031223 BR 2001-1608 231210 B 20050421 TW 2001-9013 2003PA05251 A 20030925 MX 2003-PA52 20040048805 A1 20040311 US 2003-4501 Y APPLN. INFO.: JP 2000-3793 WO 2001-JD10	2002047678 A1 20020620 WO 2001-JP10660 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, 2430760 2002018529 A 20020620 A1 20020620 A2 2001-2430760 A1 20020620 A 2002-18529 A 20031105 EP 2001-270213 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 2001016082 A 20031223 BR 2001-16082 231210 B 20050421 TW 2001-90130334 2003PA05251 A 20030925 MX 2003-PA5251 20040048805 A1 20040311 US 2003-450138 JP 2000-379347 WO 2001-J010660 WO 2001-JP10660	2002047678 A1 20020620 W0 2001-JP10660 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, 2430760 2002018529 A1 20020624 A1 20020624 A2 20011-2430760 A1 20020624 A2 2001-2430760 A1 20020624 A2 2001-270213 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 2001016082 A 20031223 BR 2001-16082 231210 B 20050421 TW 2001-90130334 2003PA05251 A 20030925 MX 2003-PA5251 A 20030925 MX 2003-PA5251 A 20040311 US 2003-450138 Y APPLN. INFO.: JP 2000-379347 WO 2001-J010660 WO 2001-JP10660	2002047678 A1 20020620 W0 2001-JP10660 20 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, 2430760 A1 20020620 CA 2001-2430760 2002018529 A 20020624 AU 2002-18529 201358879 A1 20031105 EP 2001-270213 201358879 A1 20031105 EP 2001-270213 2016016082 A 20031223 BR 2001-16082 2016212 BR 2001-16082 2016212 BR 2001-90130334 2016212 TW 2001-90130334 2016212 TW 2001-90130334 20162019019013034 20162019019013034 2016019013034	2002047678 A1 20020620 W0 2001-JP10660 20011 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, 2430760 A1 20020620 CA 2001-2430760 20011 2002018529 A 20020624 AU 2002-18529 20011 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 2001016082 A 20031203 BR 2001-16082 20011 2003PA05251 A 20030925 MX 2003-PA5251 200300 20040048805 A1 20040311 US 2003-450138 200300 20040048805 A1 20040311 US 2003-379347 A 20001

AB Disclosed are antilipemic agents characterized by containing Me 1-(3,4-dimethoxyphenyl)-3-(ethylvaleryl)-4-hydroxy-6,7,8-trimethoxy-2-naphthoate or its glucuronic acid conjugate and an HMG-CoA reductase inhibitor, such as pravastatin and lovastatin.

IT 147511-69-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Itavastatin; antilipemic agents containing lignan analogs and HMG-CoA reductase inhibitors)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 57 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:392237 CAPLUS

DOCUMENT NUMBER: 136:401651

Preparation of fused pyridine derivatives as HMG-CoA TITLE:

reductase inhibitors

INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-Chi; Sun, Chong-Qing

PATENT ASSIGNEE(S): USA

U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 875,218.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	API	PLICATION NO.		DATE	
	US 20020061901	A1	20020523	US	2001-8154		20011204	<
	US 6620821	B2	20030916					
	US 20020028826	A1	20020307	US	2001-875218		20010606	<
	US 20040024216	A1	20040205	US	2003-602753		20030624	
PRIO	RITY APPLN. INFO.:			US	2000-211594P	P	20000615	
				US	2001-875218	A2	20010606	
				US	2001-8154	А3	20011204	
OTHE	R SOURCE(S):	MARPAT	136:401651					

ER SOURCE(S):

GΙ

$$R^2$$
 R^2
 R^2

AB The title compds. I and their pharmaceutically acceptable salts, esters, prodrug esters, and stereoisomers are claimed [wherein: Z =CH(OH)CH2CR7(OH)CH2CO2R3 or corresponding pyranone lactone derivs.; n = 0, 1; x = 0, 1, 2, 3, or 4; y = 0, 1, 2, 3 or 4, provided that at least one of x and y is other than 0; and optionally one or more carbons of (CH2)xand/or (CH2)y together with addnl. carbons form a 3 to 7 membered spirocyclic ring; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H or lower alkyl; R4 = H, halo, CF3, OH, alkyl, alkoxy, CO2H, (un)substituted NH2, cyano, (un) substituted CONH2, etc.; R7 = H, alkyl]. The compds. are HMG-CoA reductase inhibitors, and are active in inhibiting cholesterol biosynthesis and modulating blood serum lipids, for example, lowering LDL cholesterol and/or increasing HDL cholesterol (no data). I are thus useful in treating hyperlipidemia and dyslipidemia, in hormone replacement therapy, and in treating hypercholesterolemia, hypertriglyceridemia and atherosclerosis, as well as Alzheimer's disease and osteoporosis. Prepns. of several compds. are described. For instance, a multistep synthesis of fused pyridine derivative II is reported. Compds. I may be used in a manner similar to atorvastatin, pravastatin, simvastatin, etc. Combinations of compds. I with various other drugs are claimed, the latter being specified as certain pharmacol. classes, as inhibitors of specific enzymes, as (ant)agonists of specific receptors, and as numerous named drugs.

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. containing; preparation of fused pyridine derivs. as HMG-CoA reductase inhibitors)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 58 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:314754 CAPLUS

DOCUMENT NUMBER: 136:335247

TITLE: Compositions for treatment of conditions associated

with elevated Lp(a) levels using a thyromimetic

compound combined with a statin

INVENTOR(S): Steele, Ronald Edward; Dardik, Beatriz N.

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	rent :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
WO	2002	 0324	08		A2	_	2002	0425		WO 2	001-	EP12	075		2		018 <
WO	2002	0324	8 0		А3		2003	1002									
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		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NΖ,	PH,	PL,
	LS, LT, L PT, RO, R			RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,
		US,	UZ,	VN,	YU,	ZA,	ZW										
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		KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,
		ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,
		GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG								
AU	2002	0236	26		A5		2002	0429		AU 2	002-	2362	6		2	0011	018 <
PRIORIT	Y APP	LN.	INFO	. :						US 2	000-	2420	36P		P 2	0001	020
										WO 2	001-	EP12	075	,	W 2	0011	018
OMITHD 0/	OTTD OT	(0)			1 4 7 TO 1	- A III	126	2252	4.7								

OTHER SOURCE(S): MARPAT 136:335247

Disclosed are methods for the treatment of conditions associated with AB elevated levels of Lp(a), such as coronary heart disease (CHD), ischemic stroke, restenosis after angioplasty, peripheral vascular disease, intermittent claudication, reduction in necrosis after myocardial infarction,

dyslipidemia and post-prandial lipemia. The methods include administration of a therapeutically effective amount of a pharmaceutical combination of a thyromimetic compound and a statin.

147511-69-1, Pitavastatin ΙT

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(compns. for treatment of conditions associated with elevated Lp(a)

levels

using thyromimetic compound combined with statin)

147511-69-1 CAPLUS RN

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 59 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:157564 CAPLUS

DOCUMENT NUMBER: 136:205424

TITLE: Combinations of insulin secretion enhancer, HMG-CoA

reductase inhibitors and acetylcholinesterase

inhibitors

INVENTOR(S): Allison, Malcolm; Gatlin, Marjorie Regan PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

Verwaltungsgesellschaft m.b.H.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND I	DATE 2	APPLICATION NO.	DATE
WO 2002015892 WO 2002015892		20020228 T	WO 2001-EP9586	20010820 <
W: AE, AG,	AL, AM, AT,	AU, AZ, BA,	BB, BG, BR, BY,	BZ, CA, CH, CN,
CO, CR,	CU, CZ, DE,	DK, DM, DZ,	EC, EE, ES, FI,	GB, GD, GE, GH,
GM, HR,	HU, ID, IL,	IN, IS, JP,	KE, KG, KP, KR,	KZ, LC, LK, LR,
LS, LT,	LU, LV, MA,	MD, MG, MK,	MN, MW, MX, MZ,	NO, NZ, PH, PL,
PT, RO,	RU, SD, SE,	SG, SI, SK,	SL, TJ, TM, TR,	TT, TZ, UA, UG,
US, UZ,	VN, YU, ZA,	ZW		
RW: GH, GM,	KE, LS, MW,	MZ, SD, SL,	SZ, TZ, UG, ZW,	AM, AZ, BY, KG,
KZ, MD,	RU, TJ, TM,	AT, BE, CH,	CY, DE, DK, ES,	FI, FR, GB, GR,

IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002014952 Α5 20020304 AU 2002-14952 20010820 <--20031112 EP 1359907 Α2 EP 2001-983442 20010820 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2004519424 JP 2002-520813 Τ 20040702 20010820 US 20040087630 US 2003-362341 A 1 20040506 20030618 PRIORITY APPLN. INFO.: US 2000-643642 A 20000822 WO 2001-EP9586 W 20010820

AB The present invention relates to a combination, especially a pharmaceutical composition, comprising (a) an insulin secretion enhancer or a pharmaceutically

acceptable salt thereof and (b) at least one of the active ingredients selected from the group consisting of (i) HMG-Co-A reductase inhibitors or a pharmaceutically acceptable salt thereof; and (ii) ACE inhibitors or a pharmaceutically acceptable salt thereof; and, in case of a pharmaceutical composition, a pharmaceutically acceptable carrier. Formulations were given as

examples, e.g., tablets containing nateglinide.

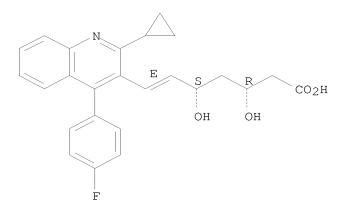
IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (combinations of insulin secretion enhancer, HMG-CoA reductase inhibitors and acetylcholinesterase inhibitors)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 60 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:90008 CAPLUS

DOCUMENT NUMBER: 136:151071

TITLE: Preparation of N-substituted indoles for treating

diabetes

INVENTOR(S): Acton, John J., III; Black, Regina Marie; Jones,

Anthony Brian; Wood, Harold Blair

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008188	A1	20020131	WO 2001-US22979	20010720 <

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AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
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PRIORITY APPLN. INFO.:
                                                    US 2000-220778P
                                                                            Ρ
                                                                                20000725
                                                    WO 2001-US22979
                                                                            W
                                                                                20010720
OTHER SOURCE(S):
                             MARPAT 136:151071
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GΙ

AB The title indoles having aryloxyacetic acid substituents [I; R1 = Me, optionally substituted with 1-3 F atoms; R2-R4 = H, halo, alkyl, etc.; R5, R6 = H, F, OH, alkyl; and R5 and R6 groups that are on the same carbon atom optionally may be joined to form a cyclopropyl group; R7, R8 = H, F, alkyl; or CR7R8 may form cycloalkyl; R9 = H, alkyl; Ar1 = (un)substituted Ph, naphthyl, pyridyl, quinolyl; X = CO, SO2, CH2, CHMe, CMe2, CF2, cyclopropylidene; Y = O, S; n = 0-5] which are agonists or partial agonists of PPAR gamma, and are useful in the treatment, control or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR mediated diseases, disorders and conditions, were prepared E.g., a multi-step synthesis of (2S)-II was given.

IT 147511-69-1, Itavastatin

Т

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of N-substituted indoles for treating diabetes)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 61 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:747642 CAPLUS

DOCUMENT NUMBER: 135:293982

TITLE: Pharmaceuticals containing a β -blocker and a

cholesterol-lowering agent

INVENTOR(S): Bondjers, Goeran; Wiklund, Olov; Wikstrand, John

PATENT ASSIGNEE(S): Astrazeneca Ab, Swed. SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA:	ΓΕΝΤ	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
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EP	1272	219			A1		2003	0108		EP 2	001-	9160	44		2	0010	327
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HU	2003	0003	32		A2		2003	0628		HU 2	003-	332			2	0010	327
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EE	2002	0057	0		A		2004	0415		EE 2	002-	570			2	0010	327
NZ	5213	51			A		2004	0827		NZ 2	001-	5213	51		2	0010	327
ΑT	3479	09			T		2007	0115	-	AT 2	001-	9160	44		2	0010	327
ES	2276	774			Т3		2007	0701		ES 2	001-	9160	44		2	0010	327
US	2003	0060	477		A1		2003	0327		US 2	002-	2207	90		2	0020	904

ZA 2002007107	A	20031204	ZA 2002-7107		20020904
IN 2002MN01245	A	20050304	IN 2002-MN1245		20020912
NO 2002004732	A	20021002	NO 2002-4732		20021002 <
MX 2002PA09705	A	20040226	MX 2002-PA9705		20021002
нк 1051325	A1	20070525	HK 2003-103659		20030523
US 20040192784	A1	20040930	US 2004-824170		20040414
PRIORITY APPLN. INFO.:			SE 2000-1188	A	20000403
			SE 2000-2352	A	20000622
			WO 2001-SE663	W	20010327
			US 2002-220790	В1	20020904

AB The present invention relates to pharmaceutical formulations comprising a $\beta\text{-blocker}$ and a cholesterol-lowering agent in admixt. with an adjuvant, a diluent or carrier, as well as a kit of parts, a method for treatment and use of the formulations for the prophylactic or therapeutic treatment of atherosclerosis, hypercholesterolemia and hyperlipoproteinemia. Thus, a 3-yr placebo-controlled pilot study was designed to investigate the effect of metoprolol succinate controlled-release formulation on atherosclerosis in patients with primary hypercholesterolemia on concomitant therapy with a cholesterol-lowering agent. Total cholesterol, HDL cholesterol and heart rate decreased more in the metoprolol controlled-release group compared with the placebo group.

IT 147511-69-1, Itavastatin

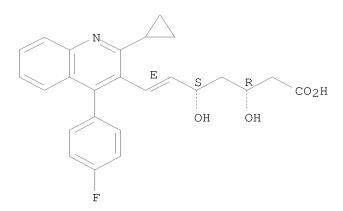
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing β -blocker and cholesterol-lowering agent)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 62 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:635873 CAPLUS

DOCUMENT NUMBER: 135:200468

TITLE: Method for producing pharmaceutical dosage forms

containing statins and D-mannitol

INVENTOR(S): Laich, Tobias; Poertner, Carola; Henck, Jan-Olav

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

20010830 WO 2001-EP1565 WO 2001062230 20010213 <--Α1 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG DE 10008506 20010913 DE 2000-10008506 20000224 <--Α1 EP 2001-907526 EP 1259227 Α1 20021127 20010213 <--AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 20030031720 A1 20030213 US 2002-204837 20020823 A 20000224 PRIORITY APPLN. INFO.: DE 2000-10008506 WO 2001-EP1565 W 20010213

AB The invention relates to a method for producing a granulate while using spray-dried D-mannitol and to the production of pharmaceutical dosage forms comprised of granulates of this type. The invention addnl. relates to granulates obtained by using this method and to pharmaceutical dosage forms, which contain statins, especially cerivastatin, and which can be produced

from the granulates. Thus 0.4 mg cerivastatin tablets were produced. For granulation the following ingredients were used (g): D-mannitol 5228.3; cerivastatin-sodium (from cerivastatin-lactone) 25.00; sodium hydroxide 8.12; polyvinylpyrrolidone 112.50; water 437.50. Cerivastatin-lactone was dissolved in 233.91 g water with 2.12 g sodium hydroxide; the rest of sodium hydroxide and water were used to dissolve PVP; the two solns. were combined. D-Mannitol was placed into a mixer and the solution was added;

the

load was discharged via a 4 mm diameter cutter. Granules were dried in fluidized bed; mixed with crosslinked PVP and magnesium stearate and pressed into 90 mg tablets.

IT 147511-69-1, Itavastatin

RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(method for producing pharmaceutical dosage forms containing statins and D-mannitol)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 63 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:359020 CAPLUS

DOCUMENT NUMBER: 146:330827

TITLE: Bile preparations for colorectal disorders

INVENTOR(S): Yoo, Seo Hong

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 24pp., Cont.-in-part of U.S.

Ser. No. 996,945. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PAT	TENT	NO.			KINI)	DATE				LICATION				DATE		
US	2007	0072	 828		A1	_	2007	0329			2006-522				 20060	915	
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	7303				В2		2007	1204									
US	2005	0158	408		A1		2005	0721		US	2004-996	945		2	20041	124	
AU	2004	3252	03		A1		2006	0601		AU	2004-325	203		2	20041	124	
CA	2588	3168			A1		2006	0601		CA	2004-258	8168		2	20041	124	
EP	1819	318			A1		2007	0822		ΕP	2004-812	094		2	20041	124	
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CN	1010	6511	0		A		2007	1031		CN	2004-800	44467		2	20041	124	
BR	2004	0192	13		A		2007	1218		BR	2004-192	13		2	20041	124	
AU	2006	2033	15		A1		2006	0824		AU	2006-203	315		2	20060	803	
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KR	2007	0988	21		A		2007	1005			2007-714				20070		
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										US	2001-778	154		A2 2	20010	205	
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										AU	2001-366	85		A3 2	20010	205	
										WO	2004-US3	9507		A 2	20041	124	

AB The present disclosure relates to methods and compns. to ameliorate or treat at least one symptom of colorectal cancer and/or adenomatous polyposis coli (APC). For example, some embodiments of the methods and compns. may reduce recurrence of colorectal adenomas and/or extend the life of a subject having colorectal cancer and/or APC. Some embodiments of the disclosure include maintaining a the total body weight in a subject having colorectal cancer and/or APC. According to some embodiments, a method of the disclosure may include administering a bile acid composition

subject. A bile acid composition may include, in some embodiments, an aqueous $\ensuremath{\mathsf{S}}$

solution that is free or substantially free of ppts. or particles. A aqueous $\,$

solution may include (1) a bile acid, an aqueous soluble derivative of a bile acid, a

bile acid salt, and/or 7-ketolithocholic acid, (2) a carbohydrate, and (3) water. An aqueous composition may further include an alkali.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bile prepns. for colorectal disorders)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 64 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:971711 CAPLUS

DOCUMENT NUMBER: 140:23243

TITLE: Orally administered peptides for treatment of

atherosclerosis and osteoporosis and with the ability

to synergize statin activity

INVENTOR(S): Fogelman, Alan M.; Anantharamaiah, Gattadahalli M.;

Navab, Mohamad

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: U.S. Pat. Appl. Publ., 48 pp., Cont.-in-part of U.S.

Pat. Appl. 2003 171,277.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PA:	TENT :	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE		
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211	6933	279	460		R2		2005	0200		05 2	001-	0900	4 1		2	JUIU	029	
	2002									₩ 2	001_	11926	197		2	2010	823	
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CN	1931	358			Α		2007	0321		CN 2	006-	1010	0667		21	010	823	
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EP	1864	675			A1		2007	1212		EP 2	007-	7775			2	010	823	
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US	7199	102			В2		2007	0403										
US	2004	0254	120		A1		2004	1216		US 2	003-	6493	78		2	0030	826	
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     This invention provides novel peptides that ameliorate one or more
AΒ
     symptoms of atherosclerosis. The peptides are highly stable and readily
     administered via an oral route. The peptides are effective to stimulate
     the formation and cycling of pre-beta high d. lipoprotein-like particles
     and/or to promote lipid transport and detoxification. This invention also provides a method of tracking a peptide in a mammal. In addition, the
     peptides inhibit osteoporosis. When administered with a statin, the
     peptides enhance the activity of the statin permitting the statin to be
     used at significantly lower dosages and/or cause the statins to be
     significantly more anti-inflammatory at any given dose.
ΙT
     147511-69-1, Pitavastatin
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
         (orally administered peptides for treatment of atherosclerosis and
         osteoporosis and with the ability to synergize statin activity)
RN
     147511-69-1 CAPLUS
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6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

CN

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 259 THERE ARE 259 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 65 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:888713 CAPLUS

DOCUMENT NUMBER: 137:384764

TITLE: Process for producing (3R,5S)-7-substituted-3,5-

dihydroxyhept-6-enoic acid

INVENTOR(S): Nishino, Shigeyoshi; Yokoyama, Shuji; Kawachi,

Yasuhiro; Sasaki, Hiroshi

PATENT ASSIGNEE(S): Ube Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
WO	2002	 0925	70		A1	_	2002	1121		WO 2	002-	JP47	10		2	0020	515	<
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		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
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		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
JP	2005	0478	03		A		2005	0224		JP 2	001-	1453.	58		2	0010	515	
AU	2002	3089	84		A1		2002	1125		AU 2	002-	3089	84		2	0020	515	<
PRIORIT	Y APP	LN.	INFO	.:						JP 2	001-	1453.	58		A 2	0010	515	
										WO 2	002-	JP47	10	1	W 2	0020	515	
OTHER S	OURCE	(S):			MAR	PAT	137:	3847	64									

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Disclosed is a process for producing a (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (I) which comprises optically resolving with an achiral amine compound a mixture of optical isomers of a 7-[2-cyclopropyl-4-(4-

fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (II). The optical resolution involves contacting II with an achiral amine to form II achiral amine salt, recrystg. the salt to form I achiral amine salt, and contacting the I achiral recrystn. amine salt with an acid to give I. This process does not use expensive chiral amines and is suitable for industrial preparation of I which is an intermediate for an anticholesteremic agent (HMG-CoA reductase inhibitor). Thus, 4.21 g II (preparation given), 1.07 g benzylamine, and 30 mL EtOAc were added to a 50

mL

flask and cooled to 0° with stirring, upon which crystals precipitated The precipitated crystals were filtered, washed with EtOAc cooled at 0°, and dried under reduced pressure to give 94.9% II benzylamine salt. II benzylamine salt (4.22 g) and 84 mL THF were added to a 100 mL flask, warmed to 50° with stirring to give a homogeneous solution, and cooled to 0°, upon which crystals precipitated The precipitated crystals were filtered

and washed with 42 mL THF cooled at 0° . This procedure was repeated twice to give 2.52 g I benzyl amine salt (60.0%) which (2.11 g) and 10 mL MeOH were added to a 50 mL flask, adjusted to pH 3.5 by adding 1 M aqueous HCl, and extracted with 10 mL EtOAc twice, followed by drying the EtOAc

extract over anhydrous MgSO4 and concentration to give 1.66 g I (99.0%). IT 147511-69-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of

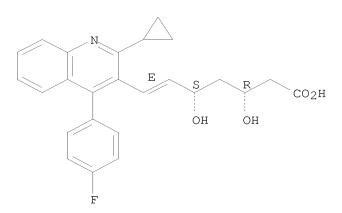
(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-

3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine via formation of achiral amine salt, recrystn., and treatment with acid)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 66 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:777648 CAPLUS

DOCUMENT NUMBER: 137:257659

TITLE: Therapeutic combinations for cardiovascular and

inflammatory indications

INVENTOR(S): Seibert, Karen; Keller, Bradley T.; Isakson, Peter C.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

P	ATENT	NO.			KIN:	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
	0 200				A2		2002			WO 2	002-	US91	85		2	0020	327	<
W	O 200:						2003											
	W:	AΕ,	AG,	AL,	ΑM,	ΑT,	AU,	AZ,	BA,	BB,	ВG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
	LU, LV, I				MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	PL,	PT,	
	RO, RU, S			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	
	RO, RU, S UZ, VN, S				ZA,	ZW												
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		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG	
A	.U 200	23068	68		A1		2002	1015		AU 2	002-	3068	68		2	0020	327	<
U	AU 2002306868 US 20030199482				A1		2003	1023		US 2	002-	1078	09		2	0020	328	
С					A		2004	0908		CN 2	002-	8102	10		2	0020	328	
PRIORI	TY AP	PLN.	INFO	.:						US 2	001-	2792	39P		P 2	0010	328	
										WO 2	002-	US91	85	1	W 2	0020	327	

AB The invention provides therapeutic combinations and methods for treating or preventing a hypercholesterolemia-related or an inflammation-related condition in a subject in need of such treatment or prevention. One therapeutic combination comprises an Apical Sodium codependent Bile acid Transport (ASBT) inhibitor combined with COX-2 inhibitor. A further therapeutic combination comprises an ASBT inhibitor, a COX-2 inhibitor and an HMG Co-A reductase inhibitor. Another therapeutic combination comprises a chromene COX-2 inhibitor and an HMG Co-A reductase inhibitor.

IT 147511-69-1, Itavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG CoA reductase, cyclooxygenase and sodium codependent bile acid transport inhibitors for cardiovascular and inflammatory diseases in humans)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 67 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:396703 CAPLUS

DOCUMENT NUMBER: 135:10035

TITLE: HMG-CoA reductase inhibitors for ameliorating abnormal

bone states

INVENTOR(S): Bagi, Cedo M.

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

Patent

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Α

RN

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

		CENT 1						DATE			APPL:						ATE		
	WO	2001	0378	76		A2				,							0001	 117 <	<
	WO	2001	0378	76		АЗ		2002	0321										
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	
			HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
			LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,	
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,	
			YU,	ZA,	ZW,	ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM					
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
			DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	IE,	ΙT,	LU,	MC,	ΝL,	PT,	SE,	TR,	BF,	
			ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG			
PRIO	RIT	APP:	LN.	INFO	.:						US 19	999-	1672	67P]	P 19	9991	124	
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ΙT	14	7511-	69-1	, It.	avas:	tati:	Ω												

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitors for ameliorating abnormal bone states) 147511-69-1 CAPLUS

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 68 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1088938 CAPLUS

DOCUMENT NUMBER: 147:398709

TITLE: Methods and compositions for controlling body weight

and appetite

INVENTOR(S): Lippa, Arnold S.; Epstein, Joseph W.; Basile, Anthony;

Tizzano, Joseph T.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 27pp., Cont.-in-part of U.S.

Ser. No. 442,743.

CODEN: USXXCO

DOCUMENT TYPE: LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	CENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.			ATE	
WO		0664	27		A1 20070927 A2 20020829 A3 20030313							20061121 20020111 <					
W	W:	AE, CO, GM, LS, PL,	AG, CR, HR, LT, PT,	AL, CU, HU, LU, RO,	AM, CZ, ID, LV, RU,	AT, DE, IL, MA, SD,	AU, DK, IN, MD, SE,	AZ, DM, IS, MG, SG,	DZ, JP, MK, SI,	EC, KE, MN, SK,	EE, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ, NO,	GD, LC, NZ,	GE, LK, OM,	GH, LR, PH,
		GH, CY, BF,	GM, DE, BJ,	KE, DK, CF,	LS, ES, CG,	MW, FI, CI,	YU, MZ, FR, CM,	SD, GB, GA,	SL, GR, GN,	SZ, IE, GQ,	IT, GW,	LU, ML,	MC, MR,	NL, NE,	PT, SN,	SE, TD,	TR, TG
US	2004 7098	229	-													0040	
PRIORIT	(APP	LN.	INFO	. :						US 2 US 2	002- 004- 006- 001-	4664 4427	57 43	-	W 2 A1 2 A2 2 A 2	0040 0060	210 530

AB The present invention provides novel compns. and methods for the controlling appetite and weight and/or treating obesity using a (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane or related compound The invention also provides novel compns. and methods for treating or preventing disorders related to or complicated by excessive body weight or obesity, including coronary heart disease, osteoarthritis, osteoporosis, dyslipidemias, gout, atherosclerosis, joint pain, sexual and fertility problems, respiratory problems, gall bladder disease, skin conditions, hypertension, diabetes, stroke, pulmonary embolism, sleep apnea, idiopathic intracranial hypertension, lower extremity venous stasis disease, gastro-esophageal reflux, urinary stress incontinence, metabolic syndrome, insulin resistance and cancer. The methods and compns. of the invention may employ a (+)-1-(3,4-dichlorophenyl)-3-azabicyclo[3.1.0]hexane or related compound alone, or in combination with a second anti-appetite or anti-obesity agent.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and compns. for controlling body weight and appetite)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 69 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:2160 CAPLUS

DOCUMENT NUMBER: 142:86655

TITLE: Orally administered peptides synergism with statins

and therapeutical application in the treatment of

atherosclerosis and osteoporosis

INVENTOR(S): Fogelman, Alan M.; Anantharamaiah, Gattadahalli M.;

Navab, Mohamad

PATENT ASSIGNEE(S): The Regents of the University of California, USA

U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 273,386. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	
US 20040266671	A1	20041230		
US 7199102	В2	20070403		
US 6664230	В1	20031216	US 2000-645454	20000824
US 20030045460	A1	20030306	US 2001-896841	20010629
US 6933279	В2	20050823		
CN 1375299	A	20021023	CN 2001-103876 CN 2005-10103876	20010823 <
CN 1739787	A	20060301	CN 2005-10103876	20010823
CN 1911439	A	20070214	CN 2006-10100670	20010823
CN 1931358	A	20070321	CN 2006-10100667 CN 2006-10100669	20010823
CN 1931359	A	20070321	CN 2006-10100669	20010823
CN 1943781	A	20070411	CN 2006-10100668	20010823
EP 1864675	A1	20071212	EP 2007-7775	20010823
R: AT, BE, C	H, CY, DE	, DK, ES,	FI, FR, GB, GR, IE, IT	, LI, LU, MC,
NL, PT, S	E, TR			
US 20030171277	A1	20030911	US 2002-187215	20020628
US 7144862	В2	20061205		
05 20030229015	Al	20031211	US 2002-2/3386	20021016
US 7166578	В2	20070123		
US 20040254120	A1	20041216	US 2003-649378	20030826
US 7148197	В2	20061212		
CA 2501943	A1	20040429	CA 2003-2501943	20031014
			WO 2003-US32442	20031014
WO 2004034977	A3	20041125		
W: AE, AG, A	L, AM, AT	, AU, AZ,	BA, BB, BG, BR, BY, BZ	, CA, CH, CN,
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GM, HR, H	J, ID, IL	, IN, IS,	JP, KE, KG, KP, KR, KZ	, LC, LK, LR,
LS, LT, L	J, LV, MA	, MD, MG,	MK, MN, MW, MX, MZ, NI	, NO, NZ, OM,
·			SD, SE, SG, SK, SL, SY	
			VC, VN, YU, ZA, ZM, ZW	
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                                             AU 2003-284129
     AU 2003284129
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                           Α2
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                 20060308
                                              CN 2003-80106367
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PRIORITY APPLN. INFO.:
                                              US 2000-645454
                                                                  A2 20000824
                                              US 2001-896841
                                                                  A2 20010629
                                              US 2002-187215
                                                                  A2 20020628
                                              US 2002-273386
                                                                  A2 20021016
                                              CN 2001-103876
                                                                  A3 20010823
                                              CN 2001-817280
                                                                  A3 20010823
                                                                  A3 20010823
                                              CN 2005-10103876
                                              EP 2001-966198
                                                                  A3 20010823
                                              JP 2002-520844
                                                                  A3 20010823
                                              WO 2001-US26497
                                                                  A2 20010823
                                              US 2003-423830
                                                                  A2 20030425
                                              US 2003-494449P
                                                                  Ρ
                                                                      20030811
                                              US 2003-649378
                                                                  A3 20030826
                                              WO 2003-US32442
                                                                     20031014
                                                                  T<sub>N</sub>7
                                              US 2005-676431P
                                                                  Ρ
                                                                     20050429
                                              US 2005-697495P
                                                                  P 20050707
                                              JP 2005-304531
                                                                  A3 20051019
                                              AU 2006-200035
                                                                  A3 20060106
                                              JP 2006-220831
                                                                  A3 20060814
AΒ
     This invention provides novel peptides that ameliorate one or more
     symptoms of atherosclerosis. The peptides are highly stable and readily
     administered via an oral route. The peptides are effective to stimulate
     the formation and cycling of pre-beta high d. lipoprotein-like particles
     and/or to promote lipid transport and detoxification. This invention also
     provides a method of tracking a peptide in a mammal. In addition, the
     peptides inhibit osteoporosis. When administered with a statin, the
     peptides enhance the activity of the statin permitting the statin to be
     used at significantly lower dosages and/or cause the statins to be
     significantly more anti-inflammatory at any given dose.
ΤТ
     147511-69-1, Pitavastatin
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (orally administered peptides synergism with statins and therapeutical
        application in treatment of atherosclerosis and osteoporosis)
RN
     147511-69-1 CAPLUS
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6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

CN

REFERENCE COUNT: 285 THERE ARE 285 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 70 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1082022 CAPLUS

DOCUMENT NUMBER: 142:49262

TITLE: Orally administered small peptides synergize statin

activity, and therapeutic uses

INVENTOR(S): Fogelman, Alan M.; Anantharamaiah, Gattadahalli M.;

Navab, Mohamad

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: U.S. Pat. Appl. Publ., 159 pp., Cont.-in-part of U.S.

Ser. No. 423,830. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PA:	TENT	NO.			KIN)	DATE			APF	PLI	CAT	ION 1	NO.		D	ATE		
US	2004	0254	 120		A1		2004	1216		us	20	003-	6493	 78		2	0030	826	
US	7148	197			В2		2006	1212											
US	6664	230			В1		2003	1216		US	20	000-	6454	54		2	0000	824	
US	2003	0045	460		A1		2003	0306		US	20	011-	8968	41		2	010	629	
US	6933	279			В2		2005	0823											
CN	1375	299			A		2002	1023						76			010	823	<
CN	1739	787			Α		2006	0301		CN	20	005-	1010	3876		2	0010	823	
-	1911						2007	-		-				0670			0010		
-	1931						2007			-	_			0667			0010		
-	1931				A		2007									2			
	1943				A		2007												
EΡ	1864				A1		2007												
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WO	2005				A3							D.C.		D	D		~ 7	~	
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         TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,

EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
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PRIORITY APPLN. INFO.:
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                            MARPAT 142:49262
AΒ
     The invention provides peptides that ameliorate one or more symptoms of
     atherosclerosis. The peptides are highly stable and readily administered
     via an oral route. The peptides are effective to stimulate the formation
     and cycling of pre- \!\beta high d. lipoprotein-like particles and/or to
     promote lipid transport and detoxification. The invention also provides a
     method of tracking a peptide in a mammal. In addition, the peptides inhibit
     osteoporosis. When administered with a statin, the peptides enhance the
     activity of the statin permitting the statin to be used at significantly
     lower dosages and/or cause the statins to be significantly more
     antiinflammatory at any given dose.
ΙT
     147511-69-1, Pitavastatin
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
```

(orally administered small peptides synergize statin activity, and

6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

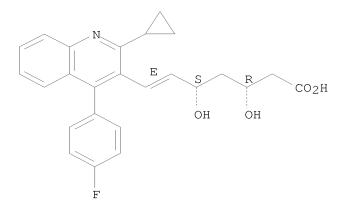
Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

therapeutic uses)

147511-69-1 CAPLUS

RN



REFERENCE COUNT: 301 THERE ARE 301 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L10 ANSWER 71 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:392331 CAPLUS

DOCUMENT NUMBER: 140:406798

TITLE: Preparation of benzoxepinopyridines as HMG-CoA

reductase inhibitors

INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.

Ser. No. 875,155, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

GΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040092573 US 6812345	A1 B2	20040513	US 2003-602752	20030624
US 20020013334 PRIORITY APPLN. INFO.:	A1	20020131	US 2001-875155 US 2000-211595P	20010606 < 20000615
FRIORIII AFFLIN. INFO.:				20010606
OTHER SOURCE(S):	MARPAT	140:406798		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = 0, S, S0, S02, NR7; Z = HOCHCH2CH(OH)CH2CO2R3, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R1, R2 = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R3 = H, alkyl, metal ion; R4 = H, halo, CF3, etc.; R7 = H, alkyl, aryl, alkanoyl, aroyl, alkoxycarbonyl, etc.; R9, R10 = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDL cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis (no data). A multistep synthesis of II is reported.

IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other

disorders)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 72 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:354734 CAPLUS

DOCUMENT NUMBER: 140:368701

TITLE: Orally administered peptides to synergize statin

activity, and their use in the treatment of

atherosclerosis and osteoporosis

INVENTOR(S): Fogelman, Alan M.; Anantharamaiah, Gattadahalli M.;

Navab, Mohamad

PATENT ASSIGNEE(S): The Regents of the University of California, USA

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

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	7166						2007											
US							2004			US 2	003-	4238	30		2	0030	425	
US	7199	102			В2		2007	0403										
CA	2501	943			A1		2004	0429		CA 2	003-	2501	943		2	0031	014	
ΑU	AU 2003284129 A1 20040504						0504		AU 2	003-	2841	29		20031014				
EP	EP 1562624 A2 2005081						0817	EP 2003-776360 2003						0031	014			
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK T JP 2006508179 20060309 JP 2005-501402 AU 2007-237157 AU 2007237157 Α1 20071213 20071126 A 20021016 PRIORITY APPLN. INFO.: US 2002-273386 US 2003-423830 20030425 Α US 2000-645454 A2 20000824 A2 20010629 US 2001-896841 WO 2001-US26497 A2 20010823 US 2002-187215 A2 20020628 WO 2003-US32442 W 20031014 AU 2006-200035 A3 20060106

AB The invention provides peptides that ameliorate one or more symptoms of atherosclerosis. The peptides are highly stable and readily administered via an oral route. The peptides are effective to stimulate the formation and cycling of pre-beta high-d. lipoprotein-like particles and/or to promote lipid transport and detoxification. This invention also provides a method of tracking a peptide in a mammal. In addition, the peptides inhibit osteoporosis. When administered with a statin, the peptides enhance the activity of the statin permitting the station to be used at significantly lower dosages and/or cause the statins to be significantly more antiinflammatory at any given dose.

IT 147511-69-1, Pitavastatin

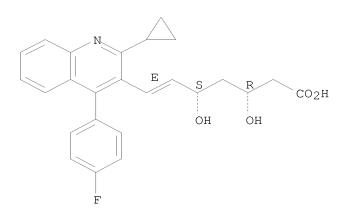
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptides to synergize statin activity, and use in treatment of atherosclerosis and osteoporosis)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 73 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:59557 CAPLUS

DOCUMENT NUMBER: 140:105270

TITLE: Methods for treatment of multiple sclerosis with

statins

INVENTOR(S):
Mach, Francois

PATENT ASSIGNEE(S): Novlmmune S.A., Switz.

SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.

Ser. No. 56,608. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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PRIORITY APPLN. INFO.:
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                                                                             B1 20050922
                                                     US 2005-502113
                                                                             B1 20060512
AB
      New uses of statins as novel types of immunomodulator are claimed. More
      specifically, the invention relates to methods for treating multiple
      sclerosis through the administration of one or more statins, and even more
      advantageously, in combination with other multiple sclerosis agents or
      treatments, such as \beta-interferons or copaxone. Clin. studies on
      treatment of multiple sclerosis patients with combinations of statins
     (atorvastatin, lovastatin, pravastatin, fluvastatin, mevastatin, rosuvastatin, velostatin, cerivastatin, itavastatin) and other drugs (Avonex, copaxone, Rebif, Betaseron) are reported. In vitro studies on
      statin effects on MHC class II expression, CD40 expression, and lymphocyte
      activation are described.
TT
      147511-69-1
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
          (treatment of multiple sclerosis with statins)
RN
      147511-69-1 CAPLUS
CN
      6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
      dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)
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Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 74 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:435299 CAPLUS

DOCUMENT NUMBER: 139:22062

TITLE: Preparation of substituted 2-azetidinones and use as

hypocholesterolemic agents

INVENTOR(S): Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.;

Iannucci, Robert M.; Feng, Wenqing; Alton, Kevin B.;

Patrick, James E.; Davis, Harry R.

PATENT ASSIGNEE(S): Schering Corporation, USA

U.S. Pat. Appl. Publ., 27 pp., Cont.-in-part of U.S. Ser. No. 23,295. SOURCE:

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

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EI	2 1593	670			B1		2007	0808										
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	•										TR			,	~_,	, 110,	,	
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U	s 7071	181			В2		2006	0704										
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HI	X 1084	945			A1		2008	0104		ΗK	2006-1	0498	3 4		2	20050	714	
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Α	J 2007	2019	70		A1		2007	0524		AU	2007-2 2000-2	2019	70		4	20070	503	
PRIORI	IY APP	LN.	INFO	.:						US	2000-2	25687	75P]	P 2	20001	220	
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										EΡ	2001-9	9131	L5	Ž	A3 2	20011	217	

US	2002-57323	A2	20020125
US	2002-166942	A2	20020611
US	2002-247397	АЗ	20020919
EΡ	2004-19610	АЗ	20040818
ΗK	2005-106006	АЗ	20050714
ΑU	2006-202618	АЗ	20060620

OTHER SOURCE(S):

MARPAT 139:22062

$$Ar^{1}-L$$
 R^{8}
 R^{26}
 R^{26}

AΒ The authors report the preparation of substituted 2-azetidinone compds. I [R1 =H, SO3H, Q1, etc., R3, R4, R5 = H, C1-C6 alkyl, CO-aryl, etc., R6 = H, C1-C6 alkyl, COMe, etc., R8 = H, alkyl, R26 = H, OH, F, etc., Ar1 = aryl, heteroaryl, etc., Ar2 = aryl, heteroaryl, etc., L = covalent bond, CO, phenylene, etc., Q = (CH2)n, n = 2-6, spiro group, etc.], as well as methods of lowering cholesterol by administering said compds., pharmaceutical compns. containing them, and the combination of a substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 14C-Sch 58235 was converted to the benzylic glucuronide II using UDPGA (uridine diphosphoglucuronosyltransferase) as catalyst. ΙT 147511-69-1, Pitavastatin RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (preparation of azetidinone glucuronide derivs. and their use as hypocholesterolemic agents combined with a cholesterol biosynthesis inhibitor for treating diabetes, obesity, vascular conditions, and lowering plasma sterol concns.) RN 147511-69-1 CAPLUS 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-CN

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

L10 ANSWER 75 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:889587 CAPLUS

DOCUMENT NUMBER: 137:370080

TITLE: Preparation of benzisoxazolyloxyacetic acids for

treatment of diabetes and lipid disorders

INVENTOR(S): Liu, Kun; Xu, Libo; Jones, A. Brian

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S.

Ser. No. 782,856, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
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US 20020173663	A1	20021121	US 2001-932834		20010817 <
US 6569879	B2	20030527			
PRIORITY APPLN. INFO.:			US 2000-183593P	P	20000218
			US 2001-782856	В2	20010214
OTHER SOURCE(S):	MARPAT	137:370080			

GΙ

AB Title compds. [I; R1, R2 = H, F, alkyl, alkenyl, alkynyl, haloalkyl, haloalkenyl, haloalkynyl; R1R2C = cycloalkyl; R3, R4 = alkyl, alkenyl, alkynyl, C1; X = N, CR; Y = O, S, NR; Z = O, S; R = H, (substituted) alkyl, alkenyl, alkynyl; R5 = H, alkyl, alkenyl, alkynyl, aryl, alkoxy, alkenyloxy, alkynyloxy, aryl, cycloalkyl, heteroaryl, etc.; with provisos], were prepared as PPAR α and/or PPAR γ agonists and are therefore useful in the treatment, control or prevention of non-insulin dependent diabetes mellitus, hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity,

vascular restenosis, inflammation, etc. (no data). Thus, 5,7-dipropyl-6-OH-3-CF3-1,2-benzisoxazole (preparation given) was stirred with

Me α -bromoisobutyrate and Cs2CO3 in DMF for 7 days at 60° to give Me 2-[(5,7-dipropyl-3-CF3-1,2-benzisoxazol-6-yl)oxy]-2-methylpropionate.

IT 147511-69-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (coadministration; preparation of benzisoxazolyloxyacetic acids for treatment of diabetes and lipid disorders)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

L10 ANSWER 76 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1342404 CAPLUS

DOCUMENT NUMBER: 146:55531

TITLE: Use of substituted azetidinone compounds for the

treatment of sitosterolemia and other conditions

INVENTOR(S): Davis, Harry R.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 49pp., Cont.-in-part of U.S.

Ser. No. 57,629. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060287254	A1	20061221	US 2006-437454	20060519
US 20020169134	A1	20021114	US 2002-57629	20020125 <
US 20050080071	A1	20050414	US 2004-890847	20040714
AU 2005246926	A1	20060119	AU 2005-246926	20051219
JP 2007091763	A	20070412	JP 2007-5232	20070112
WO 2007136696	A2	20071129	WO 2007-US11825	20070517
W: AE, AG,	AL, AM, AT,	AU, AZ, BA,	BB, BG, BH, BR, BV	, BY, BZ, CA,
CH, CN,	CO, CR, CU,	CZ, DE, DK,	DM, DZ, EC, EE, EG	G, ES, FI, GB,
GD, GE,	GH, GM, GT,	HN, HR, HU,	ID, IL, IN, IS, JE	, KE, KG, KM,
KN, KP,	KR, KZ, LA,	LC, LK, LR,	LS, LT, LU, LY, MA	A, MD, ME, MG,
MK, MN,	MW, MX, MY,	MZ, NA, NG,	NI, NO, NZ, OM, PO	G, PH, PL, PT,
RO, RS,	RU, SC, SD,	SE, SG, SK,	SL, SM, SV, SY, TJ	J, TM, TN, TR,
· · ·		UZ, VC, VN,		
· · · ·			EE, ES, FI, FR, GE	B, GR, HU, IE,
IS, IT,	LT, LU, LV,	MC, MT, NL,	PL, PT, RO, SE, SI	, SK, TR, BF,

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

US 2001-264645P P 20010126 US 2002-57629 A2 20020125 AU 2002-243557 A3 20020125 JP 2002-559030 A3 20020125 US 2006-437454 A 20060519

OTHER SOURCE(S): MARPAT 146:55531

AB The invention discloses pharmaceutical compns. comprising a azetidinone derivative sterol absorption inhibitor, a cholesterol ester-exchange protein (CETP) inhibitor, and/or HMG-CoA reductase inhibitor, as well as methods for treating sitosterolemia, hypercholesterolemia, hyperlipidemia, atherosclerosis, mixed dyslipidemia, vascular events prevention, and related disorders in a mammal in need thereof by administering the pharmaceutical compns. to the mammal. Compound preparation is described.

IT 147511-69-1, Itavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

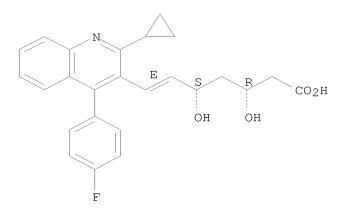
(pharmaceutical composition containing substituted azetidinone compds. as sterol $% \left(1\right) =\left(1\right) +\left(1\right) +\left$

absorption inhibitors plus cholesterol ester-exchange protein inhibitor and/or HMG-CoA reductase inhibitor for treatment of sitosterolemia and other conditions)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



L10 ANSWER 77 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:616068 CAPLUS

DOCUMENT NUMBER: 134:125381

TITLE: Synthetic optically pure statins AUTHOR(S): Farnier, Michel; Picard, Sylvie

CORPORATE SOURCE: Point Medical, Rond Point de la Nation, Dijon, 21000,

Fr.

SOURCE: IDrugs (2000), 3(8), 897-906 CODEN: IDRUFN; ISSN: 1369-7056

PUBLISHER: Current Drugs Ltd.
DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

AB A review with 95 refs. covering the chemical structure and mechanism of action of statins, i.e. atorvastatin, cerivastatin, rosuvastatin, and itavastatin in their lipid-lowering effects and their role in the prevention of atherosclerosis and coronary artery disease.

IT 147511-69-1, Itavastatin

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

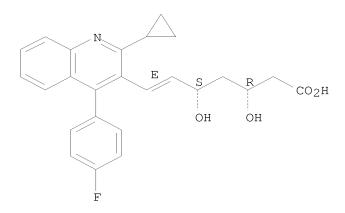
study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(mechanism of action of optically pure statins)

RN 147511-69-1 CAPLUS

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 78 OF 78 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:452012 CAPLUS

DOCUMENT NUMBER: 133:171608

TITLE: Itavastatin Nissan Chemical Industries

AUTHOR(S): Flores, Nicholas A.

CORPORATE SOURCE: Academic Cardiology Unit National Heart and Lung

Institute, Imperial College School of Medicine,

London, W2 1NY, UK

SOURCE: Current Opinion in Cardiovascular, Pulmonary & Renal

Investigational Drugs (2000), 2(3), 279-283

CODEN: CCPRFX; ISSN: 1464-8482

PUBLISHER: PharmaPress Ltd.

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review with 32 refs. Itavastatin is an HMG-CoA reductase inhibitor AB being developed jointly by Nissan and Kowa Kogyo for the potential treatment of atherosclerosis and hyperlipidemia. In Dec. 1999, the companies confirmed that they had submitted an NDA for itavastatin for the potential treatment of hypercholesterolemia. A double-blind trial of 266 patients with hypercholesterolemia showed that itavastatin lowered total blood cholesterol in all doses and also decreased low d. lipoprotein (LDL) cholesterol. The results indicate that the once-daily dose required for the drug is significantly lower to that required for atorvastatin (Lipitor; Parke-Davis). Itavastatin is a liver-selective drug with longer-acting HMG-CoA reductase inhibitor and higher cholesterol lowering potency than pravastatin (Sankyo) or simvastatin. The cholesterol-lowering effect is probably attributable to the enhancement of hepatic LDL receptor. Itavastatin is active in several animal species including rats, guinea-pigs and dogs. In May 1999, Kowa and Nissan Chemical Industries reached an agreement to grant co-marketing rights in Japan to Sankyo.

IT 147511-69-1, Itavastatin

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(itavastatin for treatment of atherosclerosis and hyperlipidemia in humans)

RN 147511-69-1 CAPLUS
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.

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